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PASSWORD:

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Handwritten note in a circle:
 v/ 24/27/04
 1624

***** Welcome to STN International *****

NEWS 1	Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	"Ask CAS" for self-help around the clock
NEWS 3 May 12	EXTEND option available in structure searching
NEWS 4 May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS 5 May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in CPlus
NEWS 6 May 27	CPlus super roles and document types searchable in REGISTRY
NEWS 7 Jun 28	Additional enzyme-catalyzed reactions added to CASREACT
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NEWS 9 Jul 12	BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS
NEWS 10 Jul 30	BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting
NEWS 11 AUG 02	IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields
NEWS 12 AUG 02	CPlus and CA patent records enhanced with European and Japan Patent Office Classifications
NEWS 13 AUG 02	STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting
NEWS 14 AUG 02	The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
NEWS 15 AUG 04	Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004
NEWS EXPRESS	JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
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NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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***** STN Columbus *****

FILE 'HOME' ENTERED AT 14:54:10 ON 24 AUG 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 14:54:19 ON 24 AUG 2004

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 AUG 2004 HIGHEST RN 731771-88-3

DICTIONARY FILE UPDATES: 23 AUG 2004 HIGHEST RN 731771-88-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

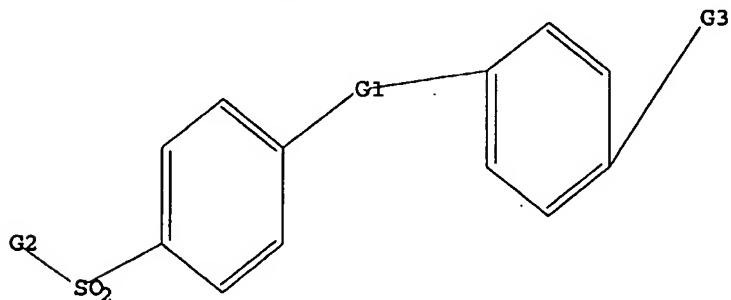
Uploading c:\program files\stnexp\queries\10771861.6

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Cb,Cy,Hy

G2 N,NH,NH2,Ak

G3 Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

10771861.6Page 3

FULL SEARCH INITIATED 14:54:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 855006 TO ITERATE

46.8% PROCESSED 400000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.12

63 ANSWERS

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 855006 TO 855006
PROJECTED ANSWERS: 100 TO 168

L2 63 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
155.42	155.63

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 14:54:59 ON 24 AUG 2004
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FILE COVERS 1907 - 24 Aug 2004 VOL 141 ISS 9
FILE LAST UPDATED: 23 Aug 2004 (20040823/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 19 L2

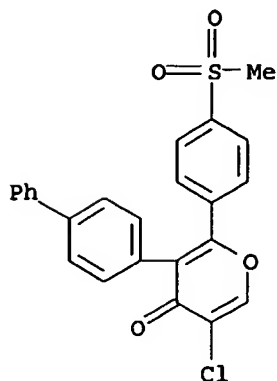
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L3 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:303289 CAPLUS
DN 141:54156
TI 2,3-Diarylpnyran-4-ones: a new series of selective cyclooxygenase-2 inhibitors
AU Joo, Yung Hyup; Kim, Jin Kwan; Kang, Seon-Hwa; Noh, Min-Soo; Ha, Jun-Yong; Choi, Jin Kyu; Lim, Kyung Min; Chung, Shin
CS Pharmaceutical & Health Research Institute, Drug Discovery, AmorePacific Corporation R&D Center, Kyounggi-do, 449-729, S. Korea
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(9), 2195-2198
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.

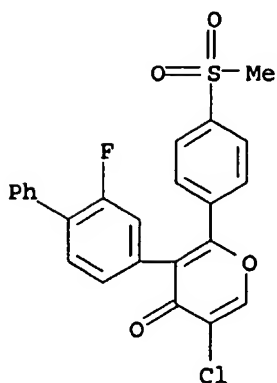
Patel

<8/24/2004>

DT Journal
 LA English
 IT 708244-51-3P 708244-72-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
 (Biological study); PREP (Preparation)
 (preparation of 2,3-diarylpyran-4-ones as cyclooxygenase-2 inhibitors and
 oral antiinflammatory agents)
 RN 708244-51-3 CAPLUS
 CN 4H-Pyran-4-one, 3-[1,1'-biphenyl]-4-yl-5-chloro-2-[4-
 (methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 708244-72-8 CAPLUS
 CN 4H-Pyran-4-one, 5-chloro-3-(2-fluoro[1,1'-biphenyl]-4-yl)-2-[4-
 (methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



AB A new series of cyclooxygenase-2 (COX-2) inhibitors with γ -pyrone as central scaffold unit has been synthesized and their biol. activities were evaluated against cyclooxygenase inhibitory activity. The changes of phys. properties of the mols. were performed according to the medicinal chemical principles and moderate oral antiinflammatory activity was obtained with this series of inhibitors.

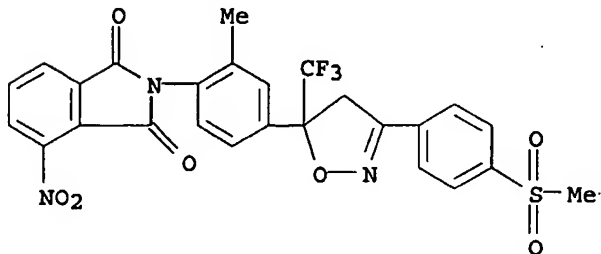
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

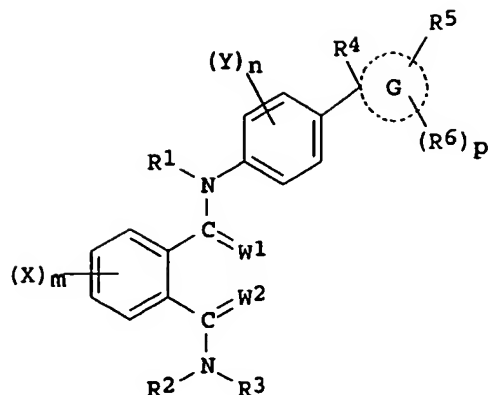
AN 2004:182828 CAPLUS
 DN 140:217657
 TI Preparation of N-(4-heterocyclylphenyl)phthalic acid diamide compounds as pest control agents
 IN Mita, Takeshi; Kudo, Yoshihiro; Mizukoshi, Takashi; Hotta, Hiroyasu; Maeda, Kazushige; Takii, Shinji
 PA Nissan Chemical Industries, Ltd., Japan
 SO PCT Int. Appl., 634 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018410	A1	20040304	WO 2003-JP10708	20030825
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			JP 2002-244619	A 20020826
			JP 2002-281294	A 20020926
			JP 2002-344987	A 20021128
			JP 2003-83371	A 20030325
			JP 2003-182013	A 20030626

OS MARPAT 140:217657
 IT 666746-24-3P
 RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-(4-heterocyclylphenyl)phthalic acid diamide compds. as pest control agents such as insecticides and acaricides)
 RN 666746-24-3 CAPLUS
 CN 1H-Isoindole-1,3(2H)-dione, 2-[4-[4,5-dihydro-3-[4-(methylsulfonyl)phenyl]-5-(trifluoromethyl)-5-isoxazolyl]-2-methylphenyl]-4-nitro- (9CI) (CA INDEX NAME)



GI



I

AB 4'-Heterocyclylbenzanilides [I; G = 5- or 6-membered nonarom. heterocyclyl containing at least one atom selected from O, S, and N and at least one double bond, 5- or 6-membered saturated heterocyclyl containing 2 atoms selected from O,

S, and N, 3- to 6-membered cycloalkyl; W1, W2 = O, S; X = halo, cyano, NO2, N3, -SCN, SF5, each (un)substituted C1-6 alkyl, C3-8 cycloalkyl, C2-6 alkenyl, C2-6 alkynyl, or OH, C3-8 cycloalkenyl, C3-8 halocycloalkenyl, SH, etc.; Y = halo, cyano, NO2, N3, -SCN, SF5, each (un)substituted C1-6 alkyl, C3-8 cycloalkyl, Ph, OH, or NH2, SH, etc.; R1, R2, R3 = H, cyano, each (un)substituted C1-12 alkyl, C3-12 cycloalkyl, C3-12 alkenyl, C3-12 alkynyl, PhO, phenyl-C1-4 alkoxy, PhS, or Ph, C3-12 cycloalkenyl, C3-12 halocycloalkenyl, C1-6 alkylthio, C1-6 haloalkylthio, etc.; R4 = H, halo, cyano, each (un)substituted C1-6 alkyl, C1-6 haloalkyl, C3-8 cycloalkyl, Ph, or OH, C3-6 alkenyl, C3-6 haloalkenyl, C3-6 alkynyl, C3-6 haloalkyl, 1-naphthyl, 2-naphthyl, etc.; R5 = H, halo, cyano, each (un)substituted C1-6 alkyl, C1-6 haloalkyl, C3-8 cycloalkyl, C3-8 halocycloalkyl, or OH, C3-6 alkenyl, C3-6 haloalkenyl, C3-6 alkynyl, C3-6 haloalkynyl, etc.; R6 = H, halo, cyano, each (un)substituted C1-6 alkyl, C1-6 haloalkyl, C3-8 cycloalkyl, C3-8 halocycloalkyl, or Ph, C1-6 alkoxy, C1-6 haloalkoxy, 1-naphthyl, 2-naphthyl, etc.; m, n = an integer of 0-4; p = an integer of 0-9] or salts thereof. Also disclosed is a novel agricultural chemical, especially

an insecticide or acaricide containing the compound I as the active ingredient. For example, N1-[4-[3-(4-fluorophenyl)-5-trifluoromethyl-4,5-dihydroisoxazol-5-yl]-2-methylphenyl]-3-nitro-N2-isopropylphthaldiamide and N1-[4-[6-(4-chlorophenyl)-2-methyl-4-trifluoromethyl-3,4-dihydropyrimidin-4-yl]-2-methylphenyl]-3-iodo-N2-isopropylphthaldiamide at 100 ppm controlled $\geq 80\%$ 2nd instar larvae of *Spodoptera litura* on cabbage leaves.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:836766 CAPLUS

DN 139:350731

TI Preparation of 1-phenyl-1H-pyrazoles for inducing apoptosis in proliferating cells

IN Chen, Ching-shin; Song, Xueqin; Lin, Ho-pi

PA The Ohio State University Research Foundation, USA

SO PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003086287	A2	20031023	WO 2003-US10738	20030408
	WO 2003086287	A3	20040325		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003236294	A1	20031225	US 2002-370664P	P 20020408
				US 2003-409502	20030408
				US 2002-370664P	P 20020408

OS MARPAT 139:350731

IT 618068-95-4P 618068-99-8P 618069-00-4P

618069-08-2P 618069-09-3P 618069-10-6P

618069-11-7P 618069-12-8P 618069-13-9P

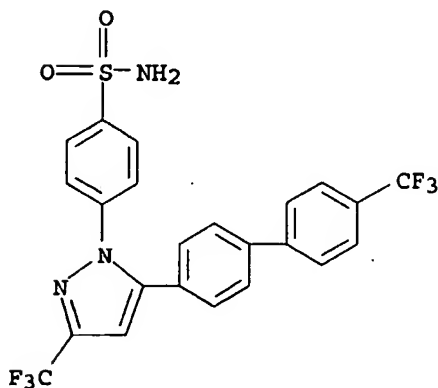
618069-14-0P 618069-15-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiproliferative agent; preparation of 1-Ph-1H-pyrazoles for inducing apoptosis in proliferating cells)

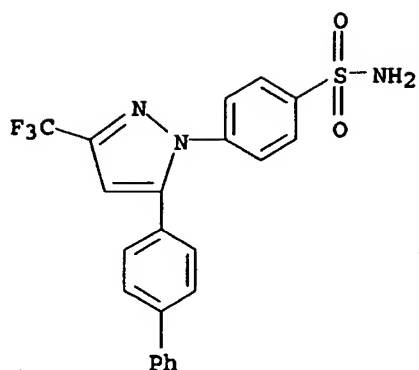
RN 618068-95-4 CAPLUS

CN Benzenesulfonamide, 4-[3-(trifluoromethyl)-5-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



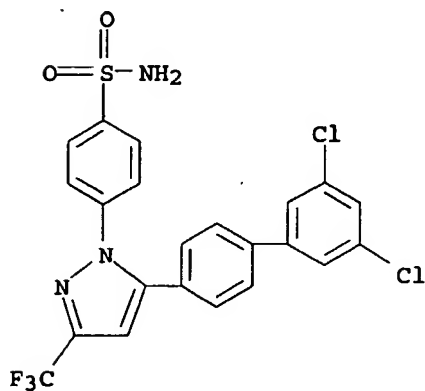
RN 618068-99-8 CAPLUS

CN Benzenesulfonamide, 4-[5-[1,1'-biphenyl]-4-yl-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



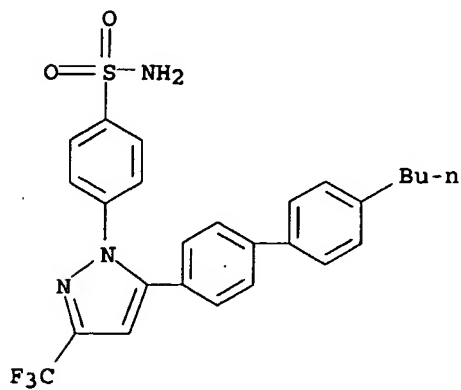
RN 618069-00-4 CAPLUS

CN Benzenesulfonamide, 4-[5-(3',5'-dichloro[1,1'-biphenyl]-4-yl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



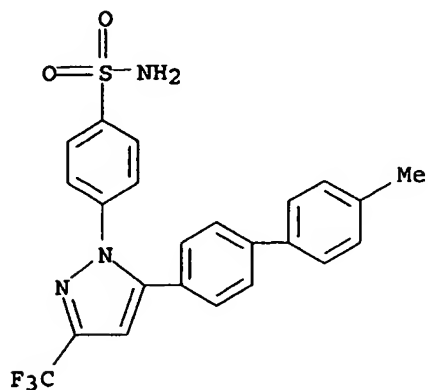
RN 618069-08-2 CAPLUS

CN Benzenesulfonamide, 4-[5-(4'-butyl[1,1'-biphenyl]-4-yl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



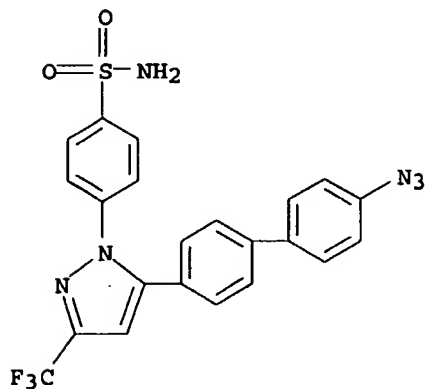
RN 618069-09-3 CAPLUS

CN Benzenesulfonamide, 4-[5-(4'-methyl[1,1'-biphenyl]-4-yl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



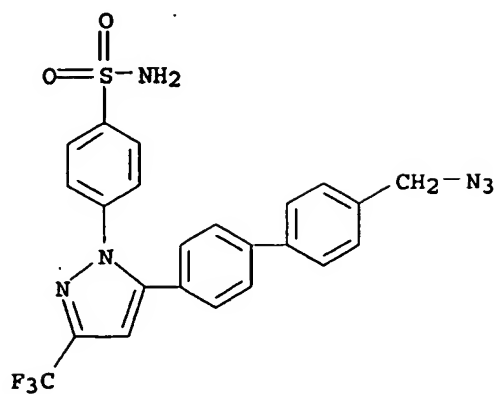
RN 618069-10-6 CAPLUS

CN Benzenesulfonamide, 4-[5-(4'-azido[1,1'-biphenyl]-4-yl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



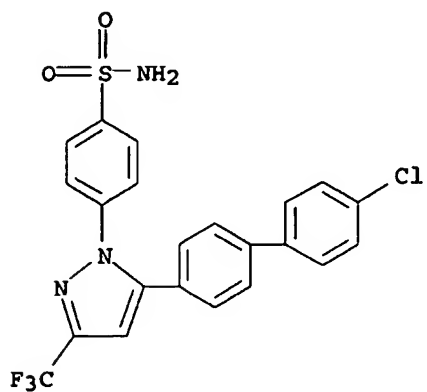
RN 618069-11-7 CAPLUS

CN Benzenesulfonamide, 4-[5-[4'-(azidomethyl)[1,1'-biphenyl]-4-yl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



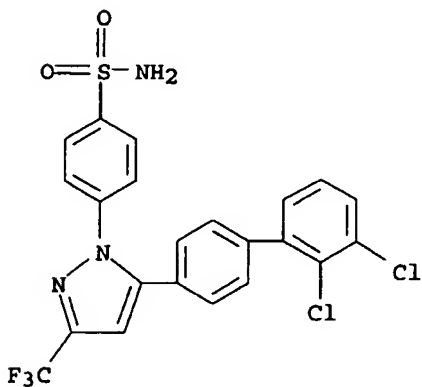
RN 618069-12-8 CAPLUS

CN Benzenesulfonamide, 4-[5-(4'-chloro[1,1'-biphenyl]-4-yl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



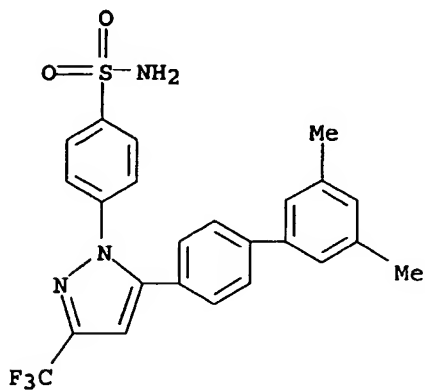
RN 618069-13-9 CAPLUS

CN Benzenesulfonamide, 4-[5-(2',3'-dichloro[1,1'-biphenyl]-4-yl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



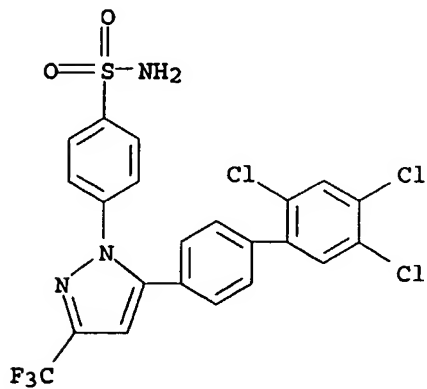
RN 618069-14-0 CAPLUS

CN Benzenesulfonamide, 4-[5-(3',5'-dimethyl[1,1'-biphenyl]-4-yl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 618069-15-1 CAPLUS

CN Benzenesulfonamide, 4-[5-(2',4',5'-trichloro[1,1'-biphenyl]-4-yl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI

PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
 RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
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 GW, ML, MR, NE, SN, TD, TG

JP 2002-87330

A 20020327

OS MARPAT 139:286336

IT 607719-52-8P 607719-58-4P 607719-60-8P

607719-61-9P 607719-62-0P 607719-63-1P

607719-64-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

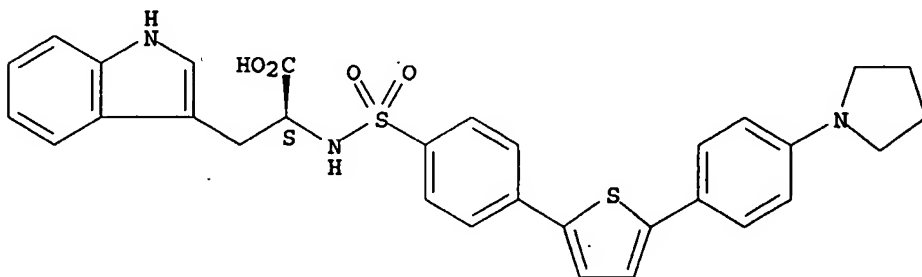
(medicinal composition containing inhibitor of decomposition of
 extracellular matrix

of cartilage and preparation of said inhibitor)

RN 607719-52-8 CAPLUS

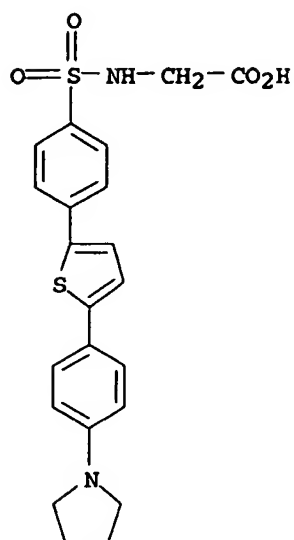
CN L-Tryptophan, N-[[4-[5-[4-(1-pyrrolidinyl)phenyl]-2-
 thienyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 607719-58-4 CAPLUS

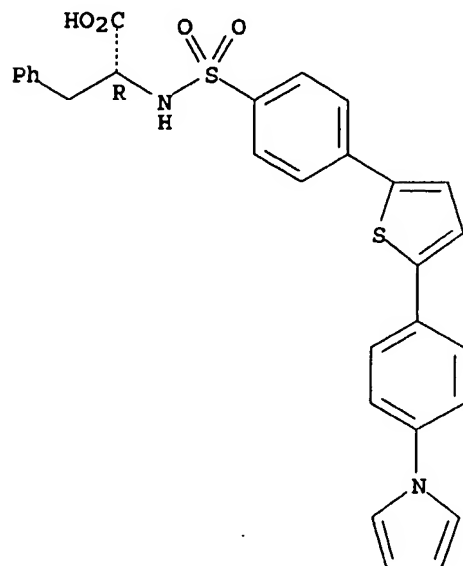
CN Glycine, N-[[4-[5-[4-(1-pyrrolidinyl)phenyl]-2-thienyl]phenyl]sulfonyl]-
 (9CI) (CA INDEX NAME)



RN 607719-60-8 CAPLUS

CN D-Phenylalanine, N-[[4-[5-[4-(1H-pyrrol-1-yl)phenyl]-2-thienyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

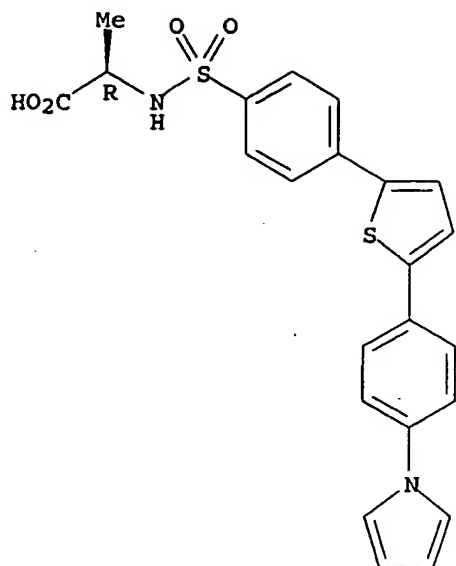
Absolute stereochemistry.



RN 607719-61-9 CAPLUS

CN D-Alanine, N-[[4-[5-[4-(1H-pyrrol-1-yl)phenyl]-2-thienyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

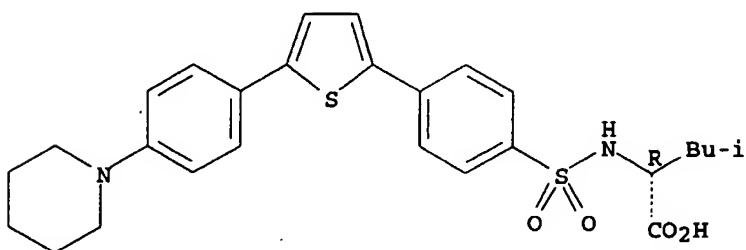
Absolute stereochemistry.



RN 607719-62-0 CAPLUS

CN D-Leucine, N-[[4-[5-[4-(1-piperidinyl)phenyl]-2-thienyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

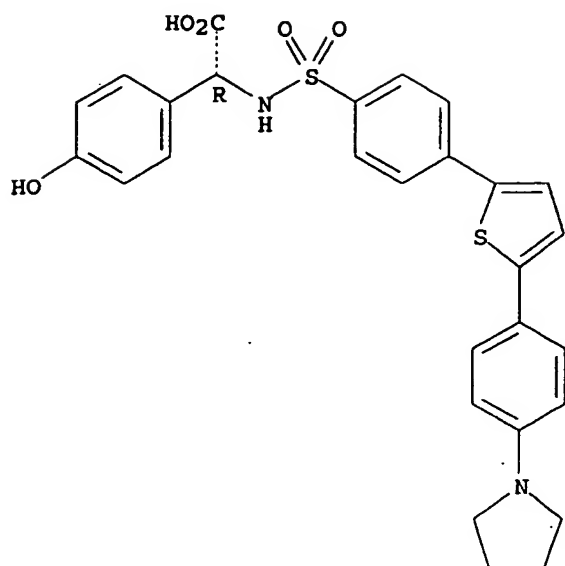
Absolute stereochemistry.



RN 607719-63-1 CAPLUS

CN Benzeneacetic acid, 4-hydroxy- α -[[[4-[5-[4-(1-pyrrolidinyl)phenyl]-2-thienyl]phenyl]sulfonyl]amino]-, (α R)- (9CI) (CA INDEX NAME)

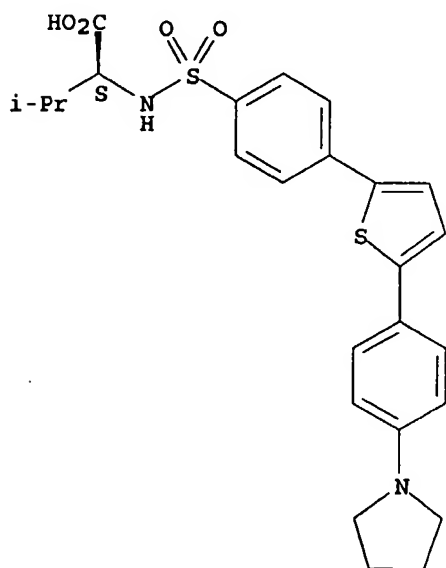
Absolute stereochemistry.



RN 607719-64-2 CAPLUS

CN L-Valine, N-[[4-[5-[4-(1-pyrrolidinyl)phenyl]-2-thienyl]phenyl]sulfonyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB A medicinal composition contains a compound represented by the general formula R₆R₅R₄SO₂W [W is R₃NCH(R₂)COR₁, etc.; R₁ is hydroxy, etc.; R₂ is optionally substituted lower alkyl, etc.; R₃ is hydrogen, etc.; R₄ is optionally substituted arylene, etc.; R₅ is a single bond, CO, etc.; and R₆ is optionally substituted aryl, etc.], an optically active isomer thereof, a prodrug thereof, a pharmaceutically acceptable salt of any of these, or a solvate of any of these. Compds. of this invention in vitro

showed IC50 values of 0.00045 μ M to >10 μ M against MMP-13.

Formulations are given.

RE.CNT 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:221693 CAPLUS

DN 138:238197

TI Preparation of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases

IN Adams, Jerry Leroy; Bryan, Deborah Lynne; Feng, Yanhong; Matsunaga, Shinichiro; Maeda, Yutaka; Miyazaki, Yasushi; Nakano, Masato; Rocher, Jean-Philippe; Sato, Hideyuki; Semones, Marcus; Silva, Domingos J.; Tang, Jun

PA Glaxosmithkline K.K., Japan; Smithkline Beecham Corporation

SO PCT Int. Appl., 265 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022852	A2	20030320	WO 2002-US28650	20020910
WO 2003022852	A3	20031127		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1425284	A2	20040609	US 2001-318766P	P 20010911
			EP 2002-798181	20020910
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, SK		US 2001-318766P	P 20010911
			WO 2002-US28650	W 20020910

OS MARPAT 138:238197

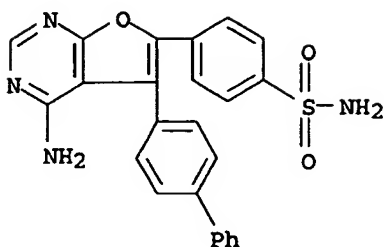
IT 501695-52-9P, 4-Amino-5-(4-biphenyl)-6-(4-sulfamoylphenyl)furo[2,3-d]pyrimidine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

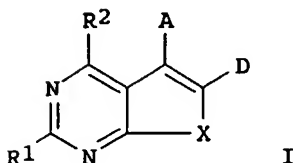
(drug candidate; preparation of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases)

RN 501695-52-9 CAPLUS

CN Benzenesulfonamide, 4-(4-amino-5-[1,1'-biphenyl]-4-ylfuro[2,3-d]pyrimidin-6-yl)- (9CI) (CA INDEX NAME)

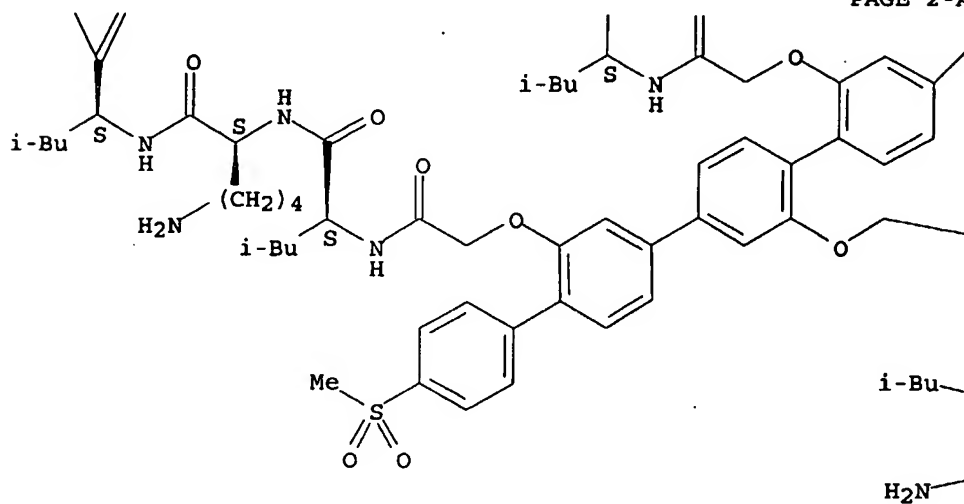
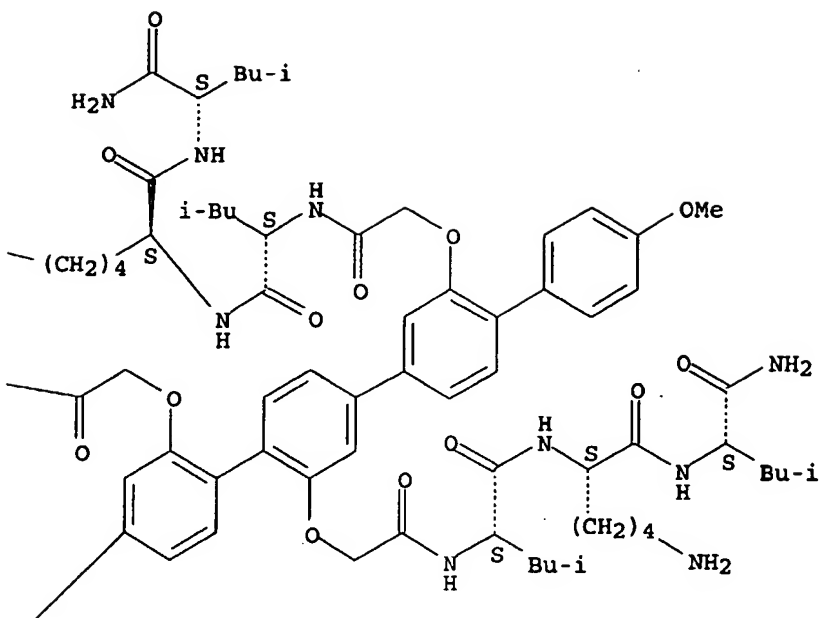


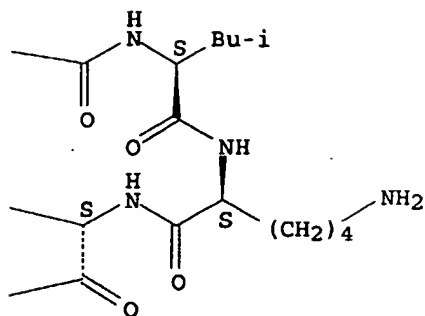
GI



AB Furo- and thienopyrimidine derivs. (shown as I; variables defined below; e.g. 4-Amino-3-(4-methoxyphenyl)-2-[3-(methanesulfonylamino)phenyl]furo[2,3-d]pyrimidine), which are useful as TIE-2 (tyrosine kinase containing immunoglobulin and EGF homol. domains) and/or VEGFR-2 kinase inhibitors against hyperproliferative diseases are described herein. Enzyme inhibitions by .apprx.60 examples of I are included as ranges; also, 4-amino-3-[4-[[2-fluoro-5-(trifluoromethyl)phenyl]aminocarbonylamino]phenyl]thieno[2,3-d]pyrimidine exhibited IC50 = 0.0018 μ M in the TIE-2 fluorescence polarization kinase activity assay. For I: X is O or S; A is H, halo, C1-C6 alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with ≥ 1 R3, heterocyclyl, -RR3, -C(O)OR4, -C(O)NR5R6, -C(O)R4; D is H, halo, C1-C6 alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with ≥ 1 R3, heterocyclyl, -RR3, -C(O)OR4, -C(O)NR5R6, or -C(O)R4. R is C1-C6 alkylene, C3-C7 cycloalkylene, C1-C6 alkenylene, or C1-C6 alkynylene; R1 is H, C1-C6 alkyl, C1-C6 alkoxy, -SR4, -S(O)2R4, -NR7R7, -NR'NR''R''', -N(H)RR3, -C(O)OR7, or -C(O)NR7R7. R2 is H, -OH, -NR7R7 or :NH; R3 is halo, C1-C6 alkyl, C1-C6 haloalkyl, C1-C6 alkoxy, C3-C7 cycloalkoxy, C1-C6 haloalkoxy, aryl, aralkyl, aryloxy, heteroaryl, heterocyclyl, -CN, -NHC(O)R4, -N(R8)HC(O)R4, -NHC(S)R4, -NR5R6, -RNR5R6, -SR4, -S(O)2R4, -RC(O)OR4, -C(O)OR4, -C(O)R4, -C(O)NR5R6, -NHS(O)2R4, -N(S(O)2R4)S(O)2R4, -S(O)2NR5R6, or -NHC(:NH)R4. R4 is H, C1-C6 alkyl, aryl, heteroaryl, heterocyclyl, -RR3, -NR'NR''R''', or -NR'NR''R'''; R5 is H, C1-C6 alkyl, C3-C7 cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl, -NHC(O)OR'', -R'NHC(O)OR'', -R'NHC(O)NR''R''', or -R'C(O)OR''. R6 is H, C1-C6 alkyl, C3-C7 cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl, -C(O)OR'', or -R'C(O)NR''R'''; R7 is H, C1-C6 alkyl, aryl, or -C(O)OR''; R8 is C1-C3 alkyl; R' is C1-C3 alkylene; R'' is heteroalkyl or NRR''R'''; R''' is H, C1-C6 alkyl, aryl, aralkyl, heteroaryl, or C3-C7 cycloalkyl; R'''' is H, C1-C6 alkyl, aryl, heteroaryl, or C3-C7 cycloalkyl. Although the methods of preparation are not claimed, several example preps. of I are included and characterization data is given for .apprx.480 examples of I.

L3 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:69769 CAPLUS
 DN 138:364359





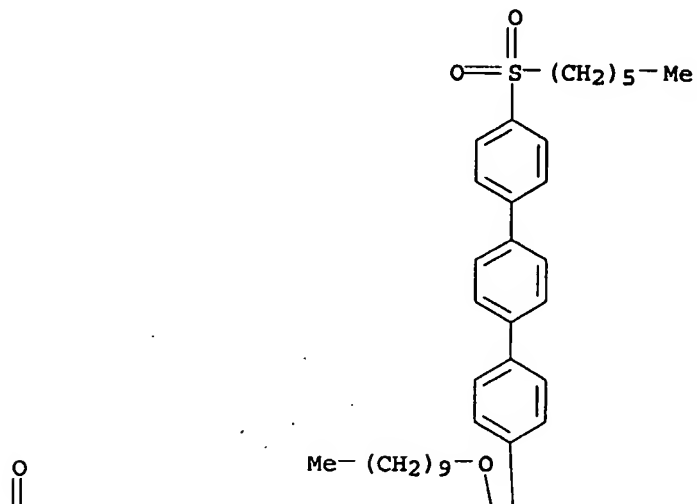
AB Ion channels formed by p-octiphenyls equipped with amphiphilic, cationic tripeptide strands and either with (5) or without (6) axial dipole moment are described (preliminary communication: N. Sakai, S. Matile, J. Am. Chemical Society 2002, 124, 1184-1185). Fluorescence kinetics with variably polarized neutral or anionic vesicles, together with planar bilayer conductance measurements, reveal voltage dependence with weakly lyotropic anion selectivity, and deactivation by competing surface potentials of the ion channels formed by asym. 5. In planar bilayers, 5 forms short-lived, poorly organized channels-similar to those produced by α -helical natural antibiotics-capable of transforming into stable, ohmic p-octiphenyl " β -barrel" ion channels similar to those of the >99% homologous but sym. 6. Fluorescence depth quenching and CD studies confirm the effect of membrane potentials in promotion of the partitioning of 5 (but not 6) into the bilayers, identifying partitioning as the voltage-dependent step.

RE.CNT 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

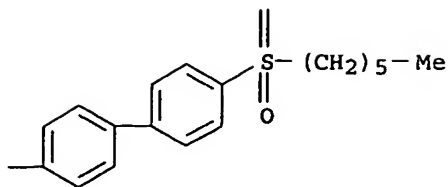
L3 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:22325 CAPLUS
DN 139:6667
TI Synthesis and fluorescence enhancement of oligophenylene-substituted calix[4]arene assemblies
AU Wong, Man Shing; Zhang, Xiao Ling; Chen, Dong Zhong; Cheung, Wai Ho
CS Department of Chemistry, Hong Kong Baptist University, Hong Kong, Peop. Rep. China
SO Chemical Communications (Cambridge, United Kingdom) (2003), (1), 138-139
CODEN: CHCOFS; ISSN: 1359-7345
PB Royal Society of Chemistry
DT Journal
LA English
OS CASREACT 139:6667
IT 536708-84-6P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of oligophenylene-substituted calixarene assemblies via cross-coupling reaction of oligoboronic acid and tetrahalocalixarenes and their fluorescence enhancement)
RN 536708-84-6 CAPLUS

CN Pentacyclo[19.3.1.13,7.19,13.115,19]octacos-1(25),3,5,7(28),9,11,13(27),15,17,19(26),21,23-dodecaene, 25,26,27,28-tetrakis(decyloxy)-5,11,17,23-tetrakis[4''-(hexylsulfonyl)[1,1':4',1''-terphenyl]-4-yl]- (9CI) (CA INDEX NAME)

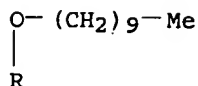
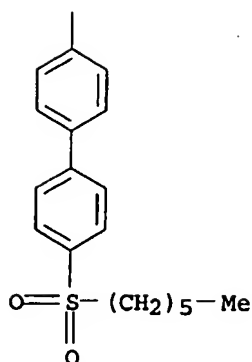
PAGE 1-A



PAGE 2-B



PAGE 3-A



AB Tetra-oligophenylene substituted calix[4]arene assemblies containing up to three phenylene units have been synthesized by a convergent approach using Suzuki cross-coupling reaction. Their optical properties were investigated and compared with the corresponding monomer.

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:805629 CAPLUS

DN 138:200393

TI On the importance of intermediate internal charge repulsion for the synthesis of multifunctional pores

AU Baumeister, Bodo; Som, Abhigyan; Das, Gopal; Sakai, Naomi; Vilbois, Francis; Gerard, David; Shahi, Shatrughan P.; Matile, Stefan

CS Department of Organic Chemistry, University of Geneva, Geneva, CH-1211/4, Switz.

SO Helvetica Chimica Acta (2002), 85(9), 2740-2753

CODEN: HCACAV; ISSN: 0018-019X

PB Verlag Helvetica Chimica Acta

DT Journal

LA English

OS CASREACT 138:200393

IT 406217-64-9

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(importance of intermediate internal charge repulsion for synthesis of

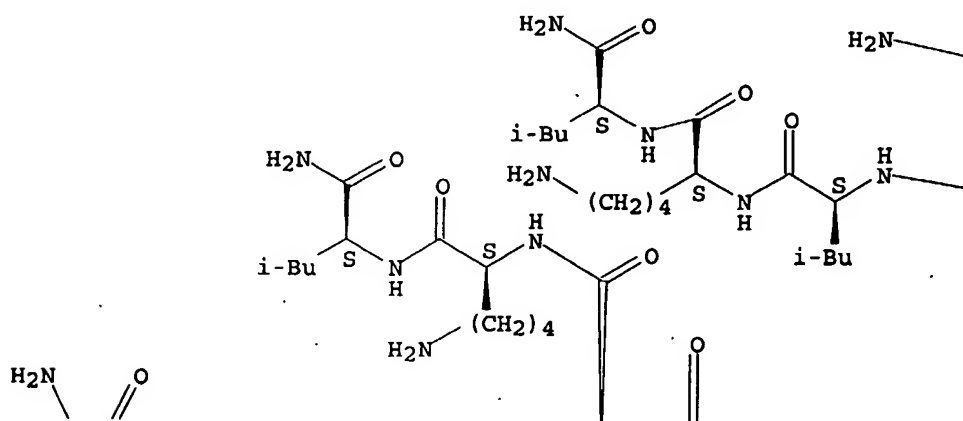
multifunctional pores)

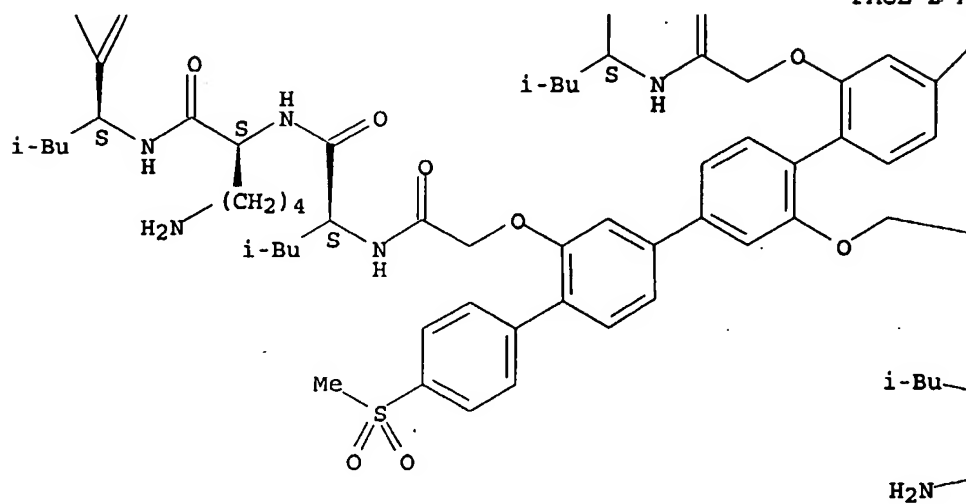
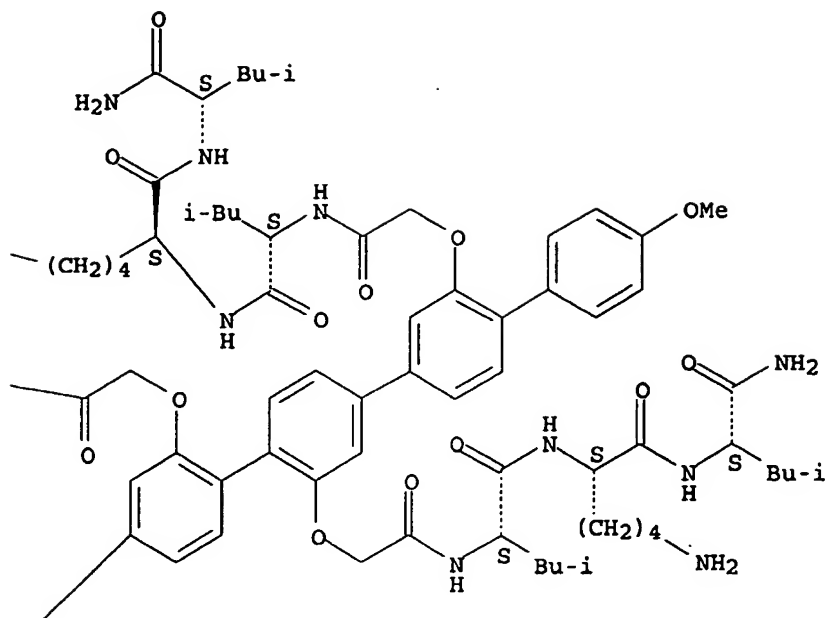
RN 406217-64-9 CAPLUS

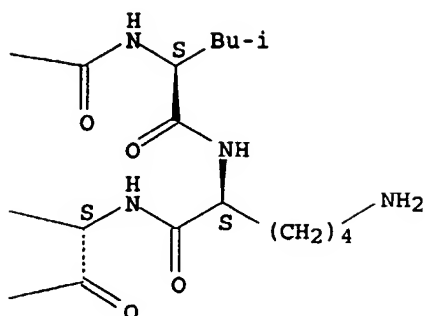
CN L-Leucinamide, 1,1',1'',1''',1''',1''''-[4-methoxy-4''''-(
 (methylsulfonyl)[1,1':4',1'':4'',1''':4''',1''':4''',1''':4''',1''':
 '':4''''',1''''''-octiphenyl]-2',2'',2''',3'',3''',3''''-
 hexayl]hexakis[oxy(1-oxo-2,1-ethanediyl)]]hexakis[L-leucyl-L-lysyl- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A







AB Intermediate internal charge repulsion (ICR) is required to create synthetic pores with large, stable, transmembrane, and variably functionalized space. This conclusion is drawn from maximal transport and, in one case, catalytic activity of p-octiphenyl β -barrel pores with internal lysine, aspartate, and histidine residues around pH 7, 6, and 4.5, resp. PKa Simulations corroborate the exptl. correlation of intermediate ICR with activity and suggest that insufficient ICR causes pore "implosion" and excess ICR pore "explosion". Esterolysis expts. support the view that the formation of stable space within multifunctional p-octiphenyl β -barrels requires more ICR in bilayer membranes than in H₂O. Multivalency effects are thought to account for p-octiphenyl β -barrel expansion with increasing number of β -sheets, and proximity effects for unchanged pH profiles with increasing β -sheet length. Q-TOF-nano-ESI-MS barrel-denaturation expts. indicate that contributions from internal counterion effects are not negligible. The overall characteristics of p-octiphenyl β -barrel pores with internal lysine, aspartate, and histidine residues, unlike de novo " α -barrels" and similarly to certain biol. channels, underscore the usefulness of rigid-rod mols. to preorganize complex multifunctional supramol. architecture.

RE.CNT 102 THERE ARE 102 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:793608 CAPLUS
DN 137:310917
TI Aromatic-substituted thiohydantoin, their preparation, and their use for treating diabetes, dyslipidemia, and obesity
IN Boubia, Benaïssa; Chaput, Evelyne; Ou, Khan; Ratel, Philippe
PA Laboratoires Fournier SA, Fr.
SO PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DT Patent
LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002081453	A1	20021017	WO 2002-FR1167	20020404

WO 2002081453 C1 20021114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
FR 2823209 A1 20021011 FR 2001-4552 A 20010404
FR 2823209 B1 20031212 FR 2001-4552 20010404
EP 1373219 A1 20040102 EP 2002-730333 20020404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
FR 2001-4552 A 20010404
WO 2002-FR1167 W 20020404
EE 200300485 A 20040216 EE 2003-485 20020404
FR 2001-4552 A 20010404
WO 2002-FR1167 W 20020404
JP 2004525175 T2 20040819 JP 2002-579441 20020404
FR 2001-4552 A 20010404
WO 2002-FR1167 W 20020404
US 2004116417 A1 20040617 US 2003-473032 20030926
FR 2001-4552 A 20010404
WO 2002-FR1167 W 20020404
NO 2003004430 A 20031006 NO 2003-4430 20031003
FR 2001-4552 A 20010404
WO 2002-FR1167 W 20020404

OS MARPAT 137:310917

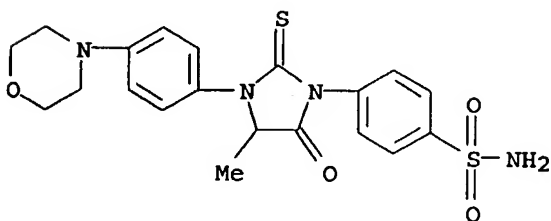
IT 471937-21-0P, 1-(4-(Morpholin-4-yl)phenyl)-3-(4-(aminosulfonyl)phenyl)-5-methyl-2-thioxo-4-imidazolidinone

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

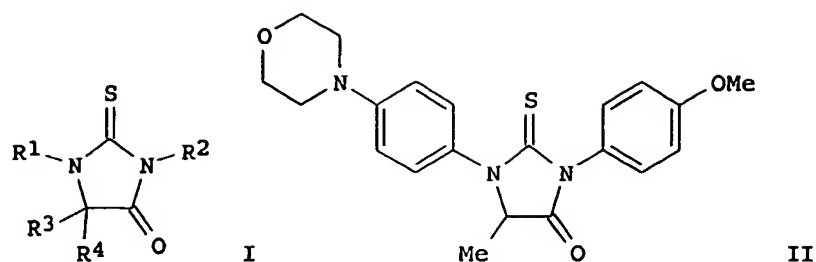
(drug candidate; preparation of aromatic-substituted thiohydantoin for treatment of diabetes, dyslipidemia, and obesity)

RN 471937-21-0 CAPLUS

CN Benzenesulfonamide, 4-[4-methyl-3-[4-(4-morpholinyl)phenyl]-5-oxo-2-thioxo-1-imidazolidinyl]- (9CI) (CA INDEX NAME)



GI



AB The invention concerns compds. derived from 2-thiohydantoin, selected among compds. I [R¹ = (un)substituted aromatic nucleus [substituents = halo, alkoxy, alkyl, alkylthio, NO₂, CF₃, OCF₃, OCH₂O, or (un)substituted (homo) (thio)morpholine, (homo)piperidine, (homo)piperazine, etc.]; R² = H, alkyl or cycloalkyl [optionally interrupted by O atoms(s)], haloalkyl, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl, cyanoalkyl, (un)substituted aromatic nucleus; R³ = H, alkyl; R⁴ = H, alkyl, OH; or R³R⁴ = CH₂; provided that at least one of R¹ and R² is an aromatic nucleus bearing at least one (un)substituted (homo) (thio)morpholine, (homo)piperidine, (homo)piperazine, etc.] and their addition salts with acids, in particular their pharmaceutically acceptable salts. The invention also concerns methods for preparing I, pharmaceutical compns. containing them, and their use

as pharmacol. active substances, in particular for treating diabetes, diseases mediated by hyperglycemia, hypertriglyceridemia, dyslipidemia, or obesity. A total of 380 invention compds. and approx. 80 intermediates were prepared and characterized. When tested orally in mice at doses below 200 mg/kg, I reduced glucose levels by up to -73%, and reduced serum triglycerides by up to -56%, with favorable changes in lipid parameters (no specific data). For instance, 4-(4-morpholinyl)aniline reacted with Et 2-bromopropionate and NaOAc in EtOH to give 69% N-[4-(4-morpholinyl)phenyl]-DL-alanine Et ester. Cyclocondensation of this amino ester with 4-(isothiocyanato)anisole in refluxing toluene in the presence of AcOH gave 82.5% title compound II.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:73773 CAPLUS

DN 136:275111

TI Recognition of Polarized Lipid Bilayers by p-Oligophenyl Ion Channels:
From Push-Pull Rods to Push-Pull Barrels

AU Sakai, Naomi; Matile, Stefan

CS Department of Organic Chemistry, University of Geneva, Geneva, CH-1211,
Switz.

SO Journal of the American Chemical Society (2002), 124(7), 1184-1185

CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

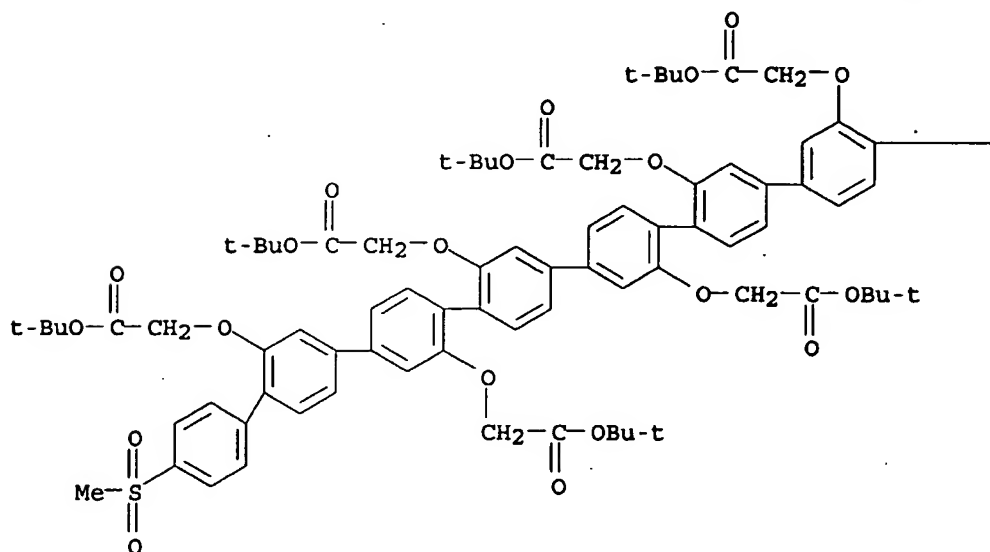
IT 406217-67-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

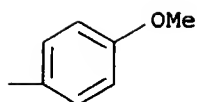
(intermediate; preparation of p-oligophenyl push-pull β -barrel
synthetic ion channels which recognize phosphatidylcholine bilayer
membranes)

RN 406217-67-2 CAPLUS
 CN Acetic acid, 2,2',2'',2''',2''',2''''-[4-methoxy-4''''''-(methylsulfonyl)[1,1':4',1'':4'',1''':4''',1''':4''''',1''':4''''',1''':4''''''-octiphenyl]-2',2''',2''''',3'',3''',3''''-hexayl]hexakis(oxy)]hexakis-, hexakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

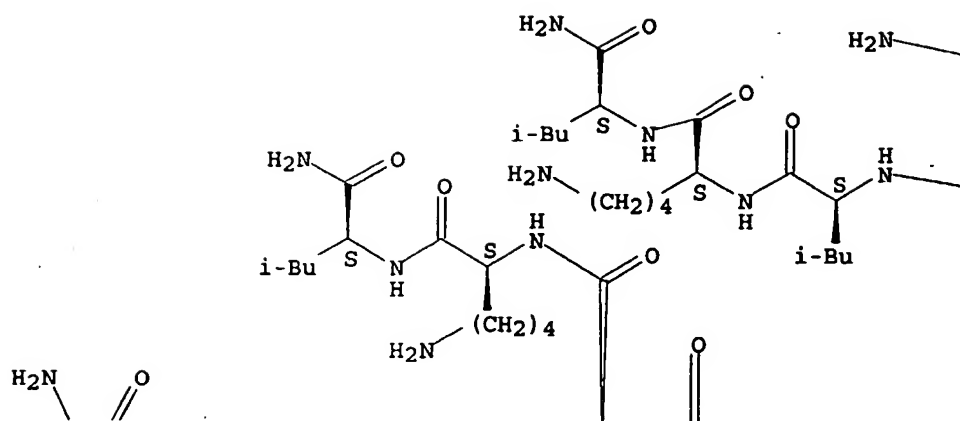


IT 406217-64-9P
 RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of p-oligophenyl push-pull β -barrel synthetic ion channels which recognize phosphatidylcholine bilayer membranes)

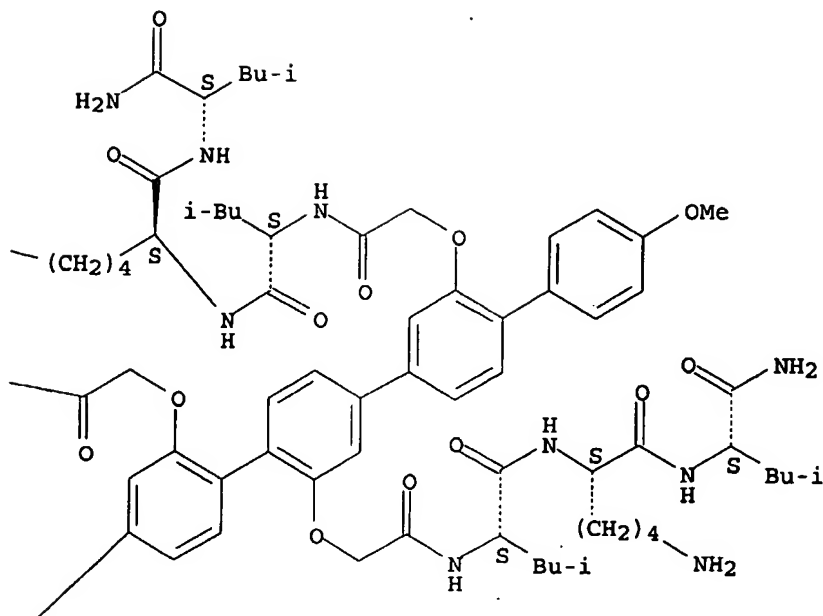
RN 406217-64-9 CAPLUS
 CN L-Leucinamide, 1,1',1'',1''',1''',1''''-[4-methoxy-4''''''-(methylsulfonyl)[1,1':4',1'':4'',1''':4''',1''':4''''',1''':4''''',1''':4''''''-octiphenyl]-2',2''',2''''',3'',3''',3''''-hexayl]hexakis[oxy(1-oxo-2,1-ethanediyl)]hexakis[L-leucyl-L-lysyl- (9CI) (CA INDEX NAME)]

Absolute stereochemistry.

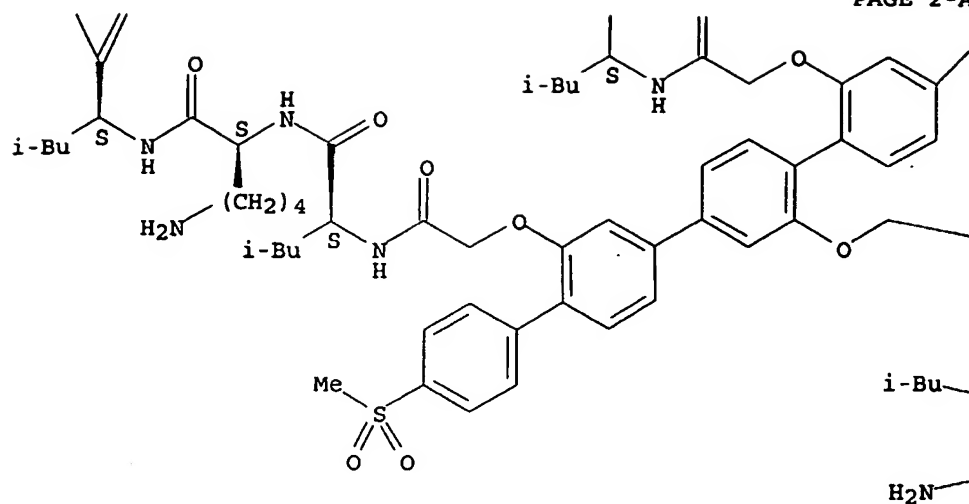
PAGE 1-A



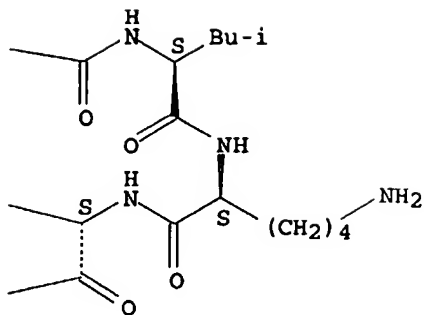
PAGE 1-B



PAGE 2-A



PAGE 2-B

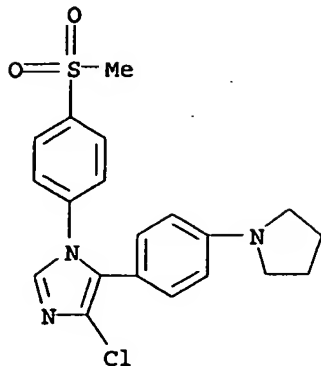


AB Design, synthesis, and evaluation of 14-methoxy-84-methylsulfonyl-22,33,42,53,62,73-hexa(Gla-Leu-Lys-Leu-NH₂)-p-octiphenyl (1) and 14,84-bismethoxy-22,33,42,53,62,73-hexa(Gla-Leu-Lys-Leu-NH₂)-p-octiphenyl (2) are described (Gla = -OCH₂CO-). Nanomolar concns. of push-pull rod 1 are found to suffice to selectively form ion channels in polarized spherical bilayer membranes composed of egg yolk phosphatidylcholine. Exponential dependence of the ion-channel activity on membrane polarization reveals a gating charge of 0.85/channel. Independence of the activity of push-push rod 2 on membrane potential demonstrates that cell membrane recognition originates from the axial dipole in push-pull rod 1. Nonlinear concentration dependence of activity at -180 mV indicates parallel self-assembly of push-pull rod 1 into a tetrameric barrel-stave supramol.

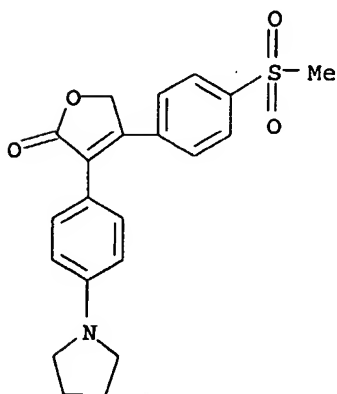
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:816659 CAPLUS
 DN 135:357924
 TI Novel heterocyclic compounds, namely imidazole sulfones and analogs, with anti-inflammatory activity, their preparation, and their therapeutic use as cyclooxygenase 2 inhibitors
 IN Almansa Rosales, Carmen; Gonzalez Gonzalez, Concepcion; Torres Barreda, M. Carmen
 PA J. Uriach & Cia S.A., Spain
 SO PCT Int. Appl., 72 pp.
 CODEN: PIXXD2
 DT Patent
 LA Spanish
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001083475	A1	20011108	WO 2001-ES152	20010423
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	ES 2166710	A1	20020416	ES 2000-1138	A 20000425
	BR 2001010328	A	20030107	ES 2000-1138	20000425
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				ES 2000-1138	A 20000425
	EP 1281709	A1	20030205	WO 2001-ES152	W 20010423
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			EP 2001-921386	20010423
				ES 2000-1138	A 20000425
				WO 2001-ES152	W 20010423
	JP 2003531903	T2	20031028	JP 2001-580903	20010423
				ES 2000-1138	A 20000425
				WO 2001-ES152	W 20010423
	NO 2002005101	A	20021220	NO 2002-5101	20021024
				ES 2000-1138	A 20000425
				WO 2001-ES152	W 20010423
	US 2003114456	A1	20030619	US 2002-258471	20021025
				ES 2000-1138	A 20000425
				WO 2001-ES152	W 20010423
OS	MARPAT 135:357924				
IT	372107-26-1P, 4-Chloro-1-(4-methylsulfonylphenyl)-5-[4-(1-pyrrolidinyl)phenyl]imidazole 372107-51-2P, 4-(4-Methylsulfonylphenyl)-3-[4-(1-pyrrolidinyl)phenyl]-5H-furan-2-one				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)				
	(drug candidate; preparation of imidazole sulfones and analogs as cyclooxygenase 2 inhibitors and antiinflammatories)				
RN	372107-26-1 CAPLUS				
CN	1H-Imidazole, 4-chloro-1-[4-(methylsulfonyl)phenyl]-5-[4-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)				



RN 372107-51-2 CAPLUS
 CN 2 (5H)-Furanone, 4-[4-(methylsulfonyl)phenyl]-3-[4-(1-pyrrolidinyl)phenyl]-
 (9CI) (CA INDEX NAME)

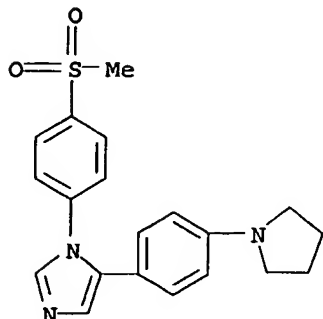


IT 372107-27-2P, 1-(4-Methylsulfonylphenyl)-5-[4-(1-pyrrolidinyl)phenyl]imidazole 372107-28-3P, 4-Chloro-5-[4-(3-hydroxypyrrolidin-1-yl)phenyl]-1-(4-methylsulfonylphenyl)imidazole 372107-29-4P, 4-Chloro-5-[4-(2-methylpyrrolidin-1-yl)phenyl]-1-(4-methylsulfonylphenyl)imidazole 372107-31-8P, 4-[4-Chloro-5-[4-(1-pyrrolidinyl)phenyl]imidazol-1-yl]benzenesulfonamide 372107-33-0P, 4-Chloro-5-[3-chloro-4-(1-pyrrolidinyl)phenyl]-1-(4-methylsulfonylphenyl)imidazole 372107-35-2P, 4-Chloro-1-(4-methylsulfonylphenyl)-5-[4-(2,5-dioxopyrrolidin-1-yl)phenyl]imidazole 372107-37-4P, 4-Chloro-1-(4-methylsulfonylphenyl)-5-[4-(2-oxo-3-pyrrolin-1-yl)phenyl]imidazole 372107-39-6P, 4-Chloro-1-(4-methylsulfonylphenyl)-5-[4-(2-oxooxazolidin-3-yl)phenyl]imidazole 372107-43-2P, 4-Chloro-1-(4-methylsulfonylphenyl)-5-[4-(2-oxopyrrolidin-1-yl)phenyl]imidazole 372107-48-7P, 3-[4-(2,5-Dioxopyrrolidin-1-yl)phenyl]-4-(4-methylsulfonylphenyl)-5H-furan-2-one 372107-49-8P, 4-(4-Methylsulfonylphenyl)-3-[4-(2-oxo-3-pyrrolin-1-yl)phenyl]-5H-furan-2-one 372107-52-3P, 3-[3-Chloro-4-(1-pyrrolidinyl)phenyl]-4-(4-methylsulfonylphenyl)-5H-furan-2-one 372107-54-5P, 4-[5-[4-(2-Oxo-3-pyrrolin-1-yl)phenyl]-3-trifluoromethyl-1H-pyrazol-1-

yl]benzenesulfonamide 372107-55-6P, 4-[5-[4-(1-Pyrrolidinyl)phenyl]-3-trifluoromethyl-1H-pyrazol-1-yl]benzenesulfonamide
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of imidazole sulfones and analogs as cyclooxygenase 2 inhibitors and antiinflammatories)

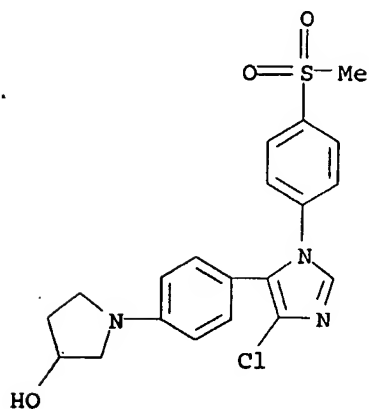
RN 372107-27-2 CAPLUS

CN 1H-Imidazole, 1-[4-(methylsulfonyl)phenyl]-5-[4-(1-pyrrolidinyl)phenyl]-
 (9CI) (CA INDEX NAME)



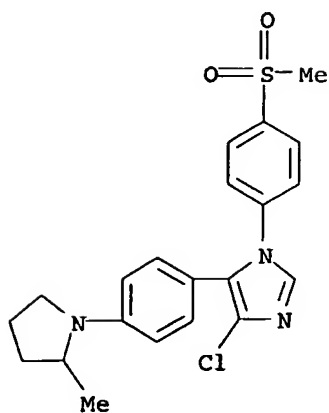
RN 372107-28-3 CAPLUS

CN 3-Pyrrolidinol, 1-[4-[4-chloro-1-[4-(methylsulfonyl)phenyl]-1H-imidazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



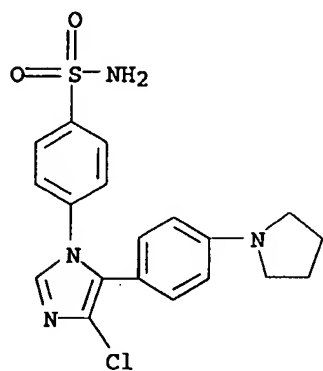
RN 372107-29-4 CAPLUS

CN 1H-Imidazole, 4-chloro-5-[4-(2-methyl-1-pyrrolidinyl)phenyl]-1-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



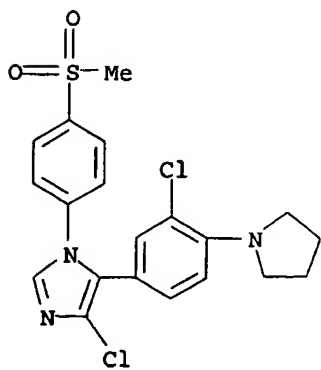
RN 372107-31-8 CAPLUS

CN Benzenesulfonamide, 4-[4-chloro-5-[4-(1-pyrrolidinyl)phenyl]-1H-imidazol-1-yl]- (9CI) (CA INDEX NAME)



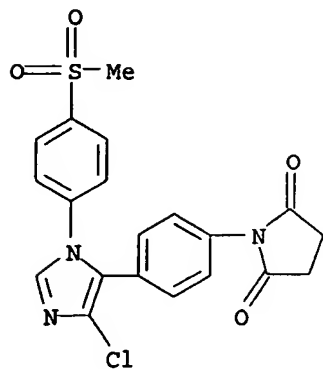
RN 372107-33-0 CAPLUS

CN 1H-Imidazole, 4-chloro-5-[3-chloro-4-(1-pyrrolidinyl)phenyl]-1-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



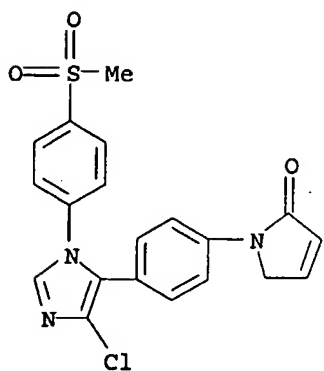
RN 372107-35-2 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[4-[4-chloro-1-[4-(methylsulfonyl)phenyl]-1H-imidazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



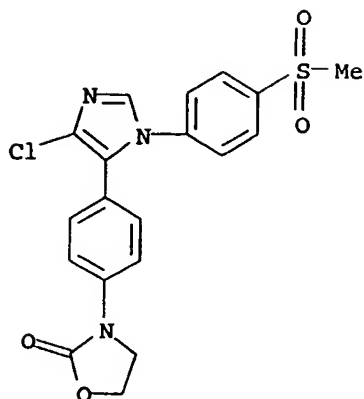
RN 372107-37-4 CAPLUS

CN 2H-Pyrrol-2-one, 1-[4-[4-chloro-1-[4-(methylsulfonyl)phenyl]-1H-imidazol-5-yl]phenyl]-1,5-dihydro- (9CI) (CA INDEX NAME)



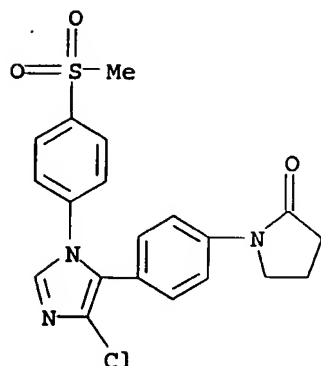
RN 372107-39-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[4-chloro-1-[4-(methylsulfonyl)phenyl]-1H-imidazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



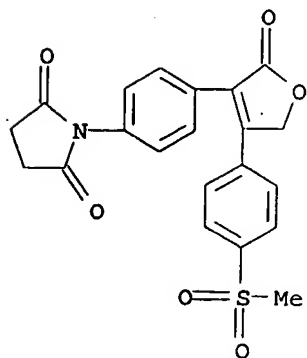
RN 372107-43-2 CAPLUS

CN 2-Pyrrolidinone, 1-[4-[4-chloro-1-[4-(methylsulfonyl)phenyl]-1H-imidazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 372107-48-7 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[4-[2,5-dihydro-4-[4-(methylsulfonyl)phenyl]-2-oxo-3-furanyl]phenyl]- (9CI) (CA INDEX NAME)

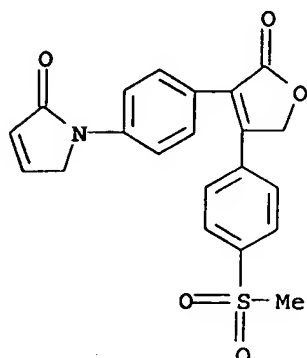


RN 372107-49-8 CAPLUS

Patel

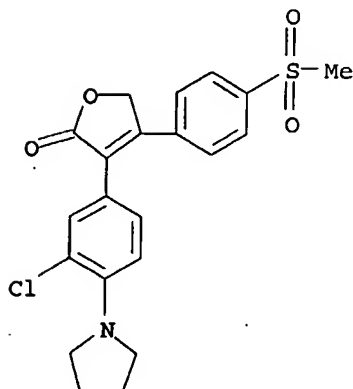
<8/24/2004>

CN 2H-Pyrrol-2-one, 1-[4-[2,5-dihydro-4-[4-(methylsulfonyl)phenyl]-2-oxo-3-furanyl]phenyl]-1,5-dihydro- (9CI) (CA INDEX NAME)



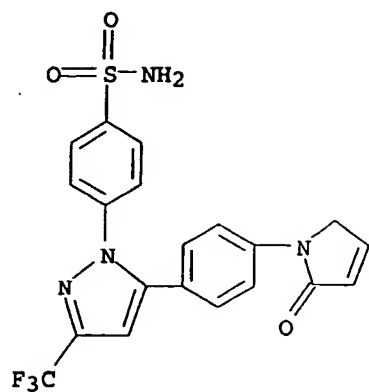
RN 372107-52-3 CAPLUS

CN 2(5H)-Furanone, 3-[3-chloro-4-(1-pyrrolidinyl)phenyl]-4-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



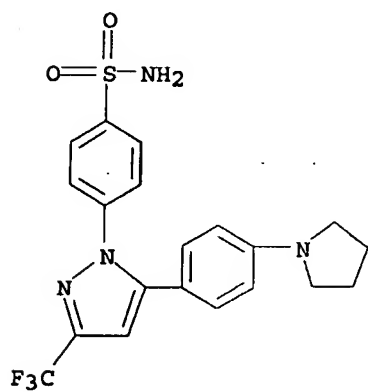
RN 372107-54-5 CAPLUS

CN Benzenesulfonamide, 4-[5-[4-(2,5-dihydro-2-oxo-1H-pyrrol-1-yl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

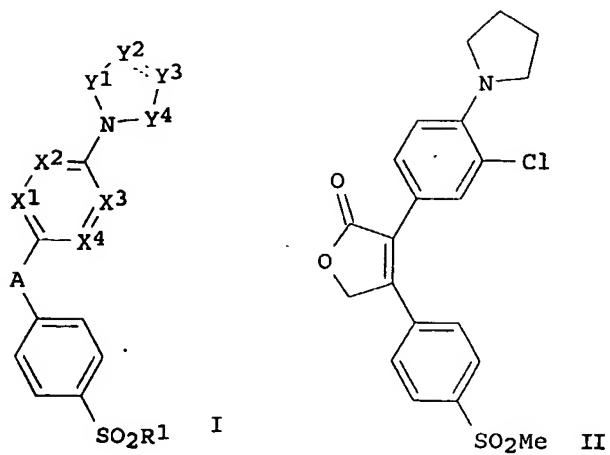


RN 372107-55-6 CAPLUS

CN Benzenesulfonamide, 4-[5-[4-(1-pyrrolidinyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI



Patel

<8/24/2004>

AB The invention relates to novel heterocyclic compds. of formula I, and to their salts, solvates, and prodrugs [wherein: A = 5-membered unsatd. or partially unsatd. ring with 1-3 optional heteroatoms (N/O/S), optional substituent(s) R2, and adjacent aryl groups; R1 = C1-8 (halo)alkyl, NR3R4; R2 = C1-4 (halo)alkyl, halo, oxo, cyano, NO2, CHO, COCH3, CO2R3; R3 = H, C1-8 alkyl, aryl, arylalkyl; R4 = H, C1-8 alkyl, arylalkyl, COR5, CO2R5; R5 = C1-8 (halo)alkyl; all X's = CR6; or 1-3 X's = N and the remainder = CR6; R6 = H, halo, C1-3 alkyl or alkoxy; dashed bond = optional pi bond; Y1, Y4 = CR7R7 or CO; Y2 and Y3 = CR8 when doubly bonded, or CR8R8 when singly bonded; Y2 can be CO if Y1 is not; Y3 can be CO if Y4 is not; Y3 can be NR9, O, or S if Y4 is CO; R7 = H, Me, Et; R8 = H, Me, Et, OH, OMe, or halo; R9 = H or C1-4 alkyl; aryl = Ph or naphthyl optionally substituted by C1-8 (halo)alkyl, halo, cyano, NO2, OR10, alkyl-OR10, SR10, alkyl-SR10, NR10R11, NR10COR11, COR10, CO2R10; R10 = H, C1-8 alkyl, CH2Ph, R11 = C1-8 (halo)alkyl]. The compds. are selective inhibitors of cyclooxygenase 2 (COX-2), useful as anti-inflammatory agents. Nineteen examples and 8 reference examples are given. For instance, 1-(4-methylsulfonylphenyl)ethanone underwent α -bromination, cyclocondensation with 4-nitrophenylacetic acid (60%), and hydrogenation at nitro (95%) to give 3-(4-aminophenyl)-4-(4-methylsulfonylphenyl)-5H-furan-2-one. This intermediate underwent cyclization with 1,4-dibromobutane at the amino group (27%) and adjacent ring chlorination (73%) to give title compound II. In tests for inhibition of COX-1 and COX-2 activity in human cell lines, II at 0.1 μ M gave 93% inhibition of COX-2 but did not appreciably inhibit COX-1 (0%).

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:816651 CAPLUS
DN 135:358158
TI Preparation of N-[4-(oxadiazol-2-yl)phenylsulfonyl]-amino acid derivatives having therapeutic or preventive efficacies against glomerular disorders
IN Shinosaki, Toshihiro; Ninomiya, Mitsuyoshi; Watanabe, Fumihiko.
PA Shionogi & Co., Ltd., Japan
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001083464	A1	20011108	WO 2001-JP3215	20010416
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				JP 2000-120235	A 20000421

OS MARPAT 135:358158

IT 372106-16-6P, (R)-2-[[[4-[3-(4-(Pyrrolidin-1-yl)phenyl)-1,2,4-oxadiazol-5-yl]phenyl]sulfonyl]amino]-2-benzylethanoic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

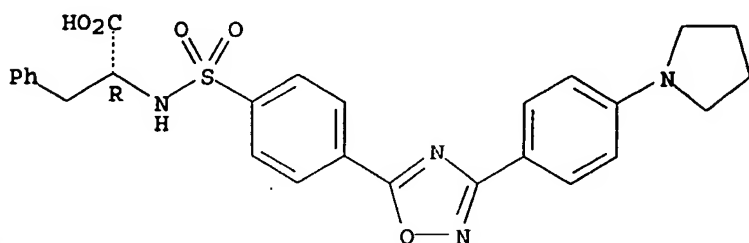
BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [(oxadiazolyl)phenylsulfonyl]-amino acid derivs. as matrix metalloproteinase inhibitors and therapeutic or preventive agents for glomerular disorders)

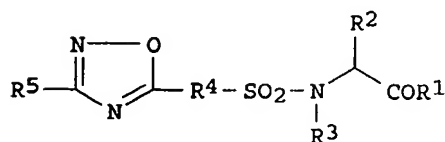
RN 372106-16-6 CAPLUS

CN D-Phenylalanine, N-[[4-[3-[4-(1-pyrrolidinyl)phenyl]-1,2,4-oxadiazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



I

AB Pharmaceutical compns. for the treatment or prevention of glomerular disorders contain as the active ingredient compds. of the general formula [I; R1 = NHOH, OH, lower alkyloxy; R2, R3 = H, (un)substituted lower alkyl, aryl, aralkyl, heteroaryl, or heteroarylalkyl; R4 = (un)substituted arylene or heteroarylene; R5 = (un)substituted aryl, heteroaryl, or nonarom. heterocyclyl], prodrugs of the same, pharmaceutically acceptable salts of both, or solvates of them. These compds. I inhibit matrix metalloproteinase (MMP) and are safe and highly effective for the prevention or treatment of glomerular disorders, in particular glomerular nephritis and diabetic nephropathy. They are also useful for the treatment of osteoarthritis, aortic aneurysm, and diabetic retinopathy. Thus, N-sulfonylation of D-phenylalanine Me ester hydrochloride with 4-chlorosulfonylbenzoic acid in aqueous Na2CO3 at room temperature for 3 h gave N-(4-carboxyphenylsulfonyl)-L-phenylalanine Me ester which was converted into the acid chloride by treatment with oxalyl chloride in DMF at room temperature for 1 h and cyclocondensed with 4-fluorobenzamidoxime (preparation given) in pyridine and diglyme at room temperature for 1 h and then at 110° for 3 h, followed by saponification with a mixture of 1 N aqueous NaOH and DMSO and acidification with aqueous 2 N HCl to give N-[4-[3-(4-fluorophenyl)-1,2,4-oxadiazol-5-yl]phenylsulfonyl]-D-phenylalanine. N-[4-[3-(5-chlorothiophen-2-yl)-1,2,4-oxadiazol-5-yl]phenylsulfonyl]-L-valine showed IC50 of 0.0051, 0.056, and 0.025 μ M against MMP-2, 8, and 9, resp.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

Patel

<8/24/2004>

AN 2001:816650 CAPLUS
 DN 135:357931
 TI Preparation of oxadiazole derivatives as anticancer agents inhibiting
 MMP-2
 IN Yoshioka, Takayuki; Maekawa, Ryuji; Watanabe, Fumihiko
 PA Shionogi & Co., Ltd., Japan
 SO PCT Int. Appl., 57 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001083463	A1	20011108	WO 2001-JP3214	20010416
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 2001046916	A5	20011112	JP 2000-120234	A 20000421
				AU 2001-46916	20010416
				JP 2000-120234	A 20000421
				WO 2001-JP3214	W 20010416
	EP 1277744	A1	20030122	EP 2001-919938	20010416
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				JP 2000-120234	A 20000421
				WO 2001-JP3214	W 20010416
	BR 2001010211	A	20030603	BR 2001-10211	20010416
				JP 2000-120234	A 20000421
				WO 2001-JP3214	W 20010416
	ZA 2002008307	A	20031015	ZA 2002-8307	20021015
				JP 2000-120234	A 20000421
	NO 2002005035	A	20021219	NO 2002-5035	20021018
				JP 2000-120234	A 20000421
				WO 2001-JP3214	W 20010416
	US 2003203940	A1	20031030	US 2002-257917	20021018
	US 6720343	B2	20040413		
				JP 2000-120234	A 20000421
				WO 2001-JP3214	W 20010416
	US 2004122066	A1	20040624	US 2003-730946	20031210
				JP 2000-120234	A 20000421
				WO 2001-JP3214	W 20010416
				US 2002-257917	A3 20021018

OS MARPAT 135:357931

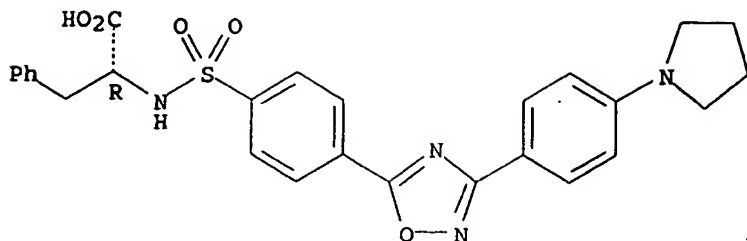
IT 372106-16-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of oxadiazole derivs. as anticancer agents inhibiting MMP-2)

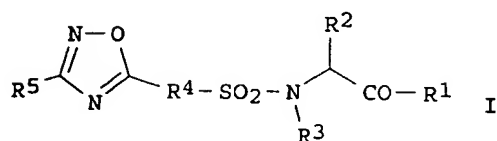
RN 372106-16-6 CAPLUS

CN D-Phenylalanine, N-[[4-[3-[4-(1-pyrrolidinyl)phenyl]-1,2,4-oxadiazol-5-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

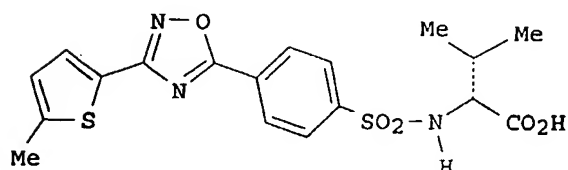
Absolute stereochemistry.



GI



I



II

AB The title compds. I [R1 is hydroxyl or the like; R2 is optionally substituted lower alkyl or the like; R3 is hydrogen or the like; R4 is optionally substituted arylene or the like; and R5 is optionally substituted aryl or the like] are prepared. The title compound II in vitro showed IC50 of 6 nM against MMP-2. Formulations are given.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:816648 CAPLUS

DN 135:344729

TI Preparation of N-thiazolylphenylsulfonylamino acid and N-oxazolylphenylsulfonylamino acid derivatives as macrophage metalloelastase inhibitors

IN Furue, Shingo; Watanabe, Fumihiko; Tamura, Yoshinori

PA Shionogi & Co., Ltd., Japan

SO PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001083461	A1	20011108	WO 2001-JP3437	20010423
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HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT,
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

JP 2000-130041 A 20000428

JP 2000-293419 A 20000927

OS MARPAT 135:344729

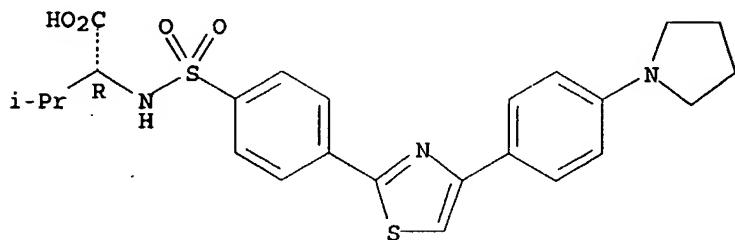
IT 370597-61-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-thiazolylphenylsulfonylamino acid and N-oxazolylphenylsulfonylamino acid derivs. as macrophage metalloelastase inhibitors)

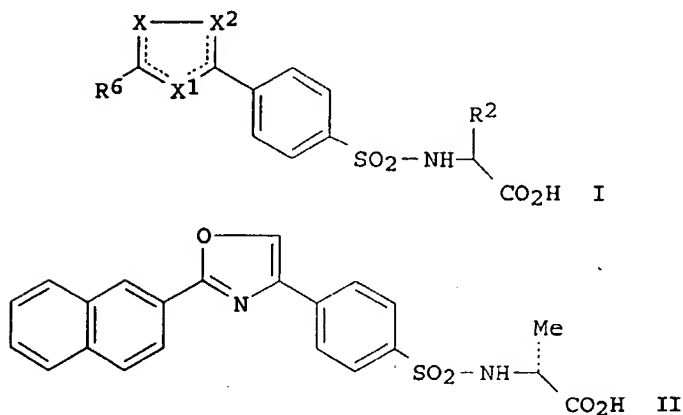
RN 370597-61-8 CAPLUS

CN D-Valine, N-[[4-[4-[4-(1-pyrrolidinyl)phenyl]-2-thiazolyl]phenyl]sulfonyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



AB Title compds. [I; X = O, N, S, CH; X1 = N, O; X2 = CH, S; dotted bond = single bond, double bond; R6 = (un)substituted aryl, benzofuranyl, benzothienyl; R2 = alkyl], optical isomers, prodrugs, and pharmaceutically

Patel

<8/24/2004>

acceptable salts or solvates of title compds. are prepared as macrophage metalloelastase inhibitors. Thus, the title compound II was prepared and MMP-1, MMP-2, MMP-8, MMP-9, MMP-12, and MMP-13 inhibition tested.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:371567 CAPLUS

DN 135:5612

TI Preparation of new pyrazolo terpyridines as remedies for inflammation, autoimmune diseases

IN Yamamoto, Hirofumi; Takahashi, Fumie; Kato, Takeshi; Nakamura, Katsuya; Manabe, Koji

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 64 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001139575	A2	20010522	JP 1999-323692	19991115
				JP 1999-323692	19991115

OS MARPAT 135:5612

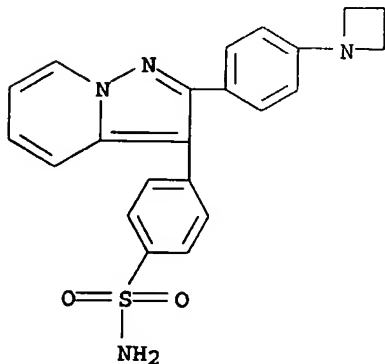
IT 340322-50-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

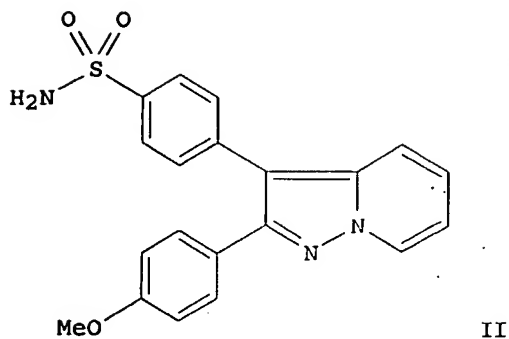
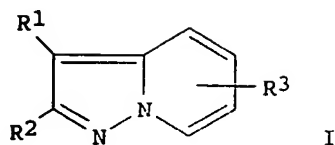
(preparation of new pyrazolo terpyridines as remedies for inflammation autoimmune diseases)

RN 340322-50-1 CAPLUS

CN Benzenesulfonamide, 4-[2-[4-(1-azetidiny)phenyl]pyrazolo[1,5-a]pyridin-3-yl]- (9CI) (CA INDEX NAME)



GI



AB The pyrazolo terpyridine or that salt which is cyclooxygenase - 2 (COX-II) inhibitors, those production methods, the medicine composition, and the person
or
the animal which contain those inflammation condition, u painfully, prevention of the autoimmune disease and / or the method of treating is offered. Below-mentioned general formula (I) [in the formula, the R1 and the R2, the resp. hydrogen, the hydrogen, the low-grade alkyl group and the halogen et cetera, mean, R3 such as low-grade alkyl group and the cyclo (low grade) alkyl group resp.] So the chemical compound which is displayed or that salt.

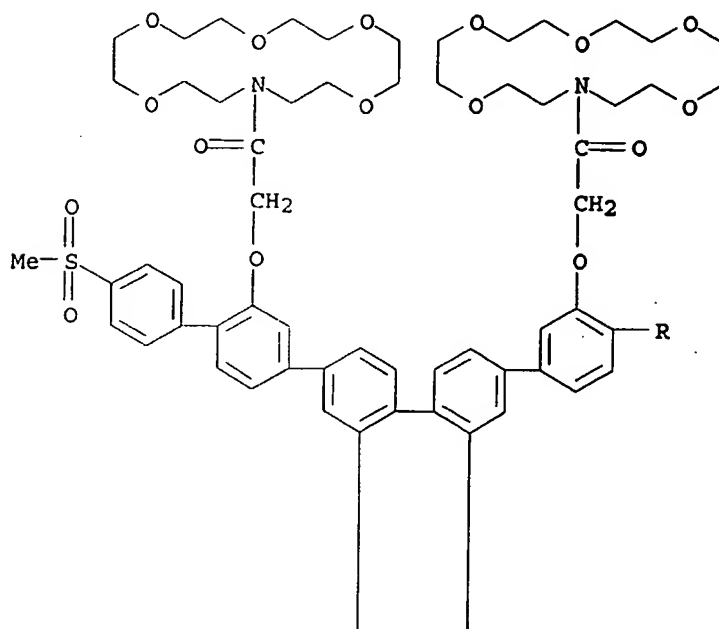
L3 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:130219 CAPLUS
DN 134:322321
TI Electrostatics of Cell Membrane Recognition: Structure and Activity of Neutral and Cationic Rigid Push-Pull Rods in Isoelectric, Anionic, and Polarized Lipid Bilayer Membranes
AU Sakai, Naomi; Gerard, David; Matile, Stefan
CS Department of Organic Chemistry, University of Geneva, Geneva, CH-1211, Switz.
SO Journal of the American Chemical Society (2001), 123(11), 2517-2524
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 134:322321
IT 335629-09-9P 335629-19-1P 335629-21-5P
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

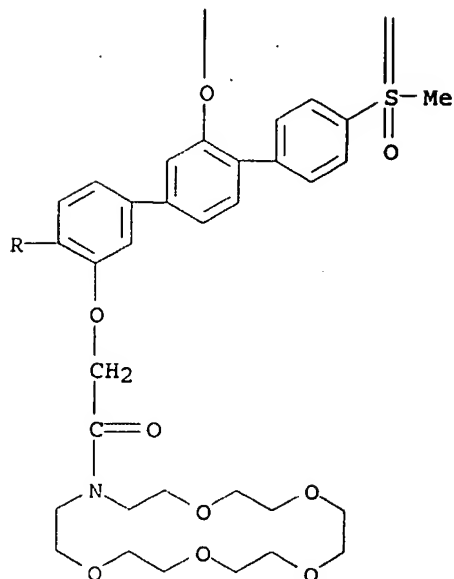
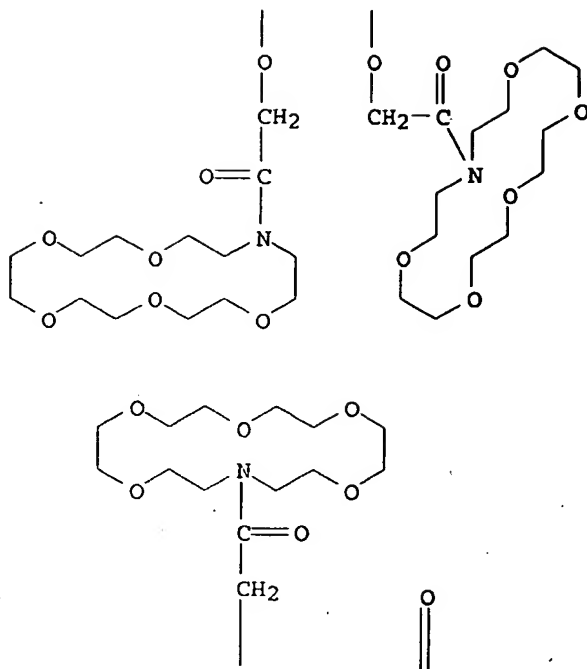
(electrostatics of cell membrane recognition: structure and activity of neutral and cationic rigid push-pull rods in isoelec., anionic, and polarized lipid bilayer membranes)

RN 335629-09-9 CAPLUS

CN 1,4,7,10,13-Penta-oxa-16-azacyclooctadecane, 16,16',16'',16''',16'''',16''''
 '-[[[4,4''''''-bis(methylsulfonyl)[1,1':4',1'':4'',1''':4''',1''':4''''',1
 ''':4''''',1''':4''''',1''':4''''',1''':4''''']-octiphenyl]-
 2',2''',2''''',3'',3''''',3''''''-hexayl]hexakis[oxy(1-oxo-2,1-
 ethanediyl)]]hexakis- (9CI) (CA INDEX NAME)

PAGE 1-A .

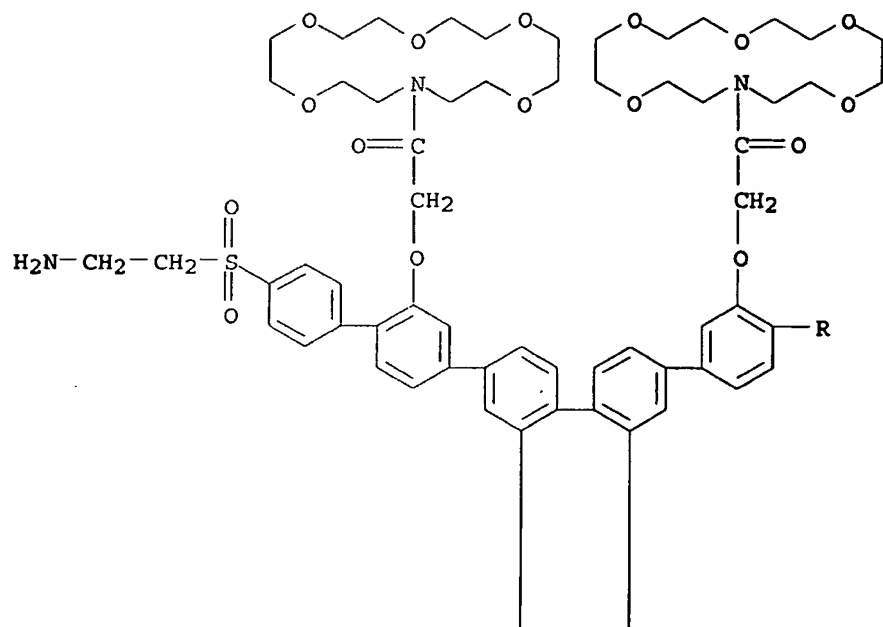




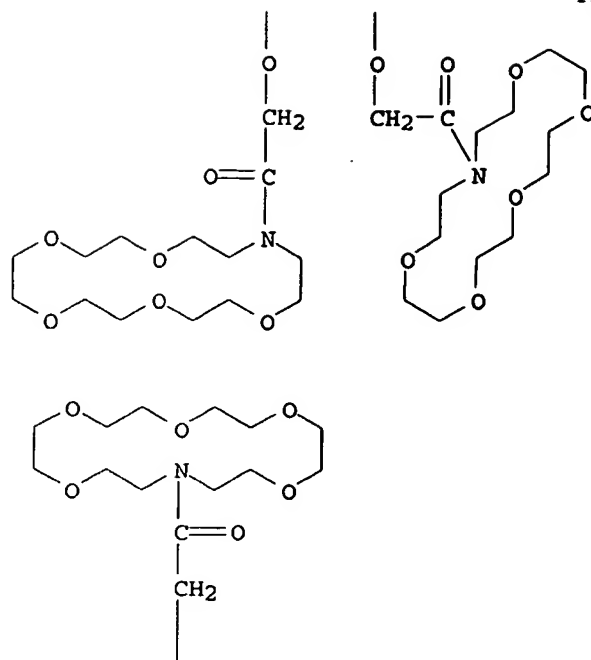
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RN      335629-19-1    CAPLUS  
CN      1,4,7,10,13-Pentaoxa-16-azacyclooctadecane, 16,16',16'',16''',16'''',16''''  
        '-[[4-{(2-aminoethyl)sulfonyl}-4'-''''-(methylthio)[1,1':4',1'':4'',1''':  
        :4''',1''':4''''',1''''':4''''',1''''':4''''',1''''':4''''']-octiphenyl]-
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2',2''',2''''',3'',3''''',3''''''-hexayl]hexakis[oxy(1-oxo-2,1-ethanediyl)]hexakis- (9CI) (CA INDEX NAME)

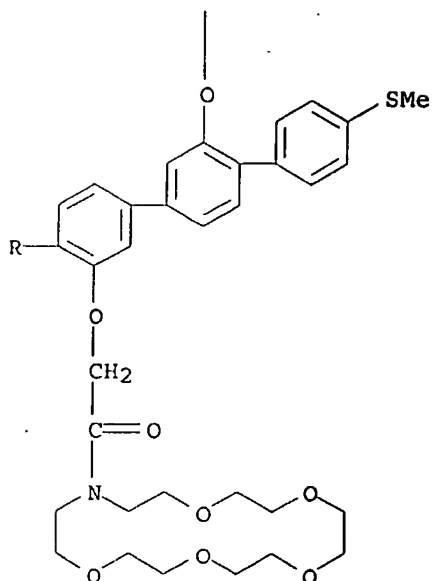
PAGE 1-A



PAGE 2-A .



PAGE 3-A

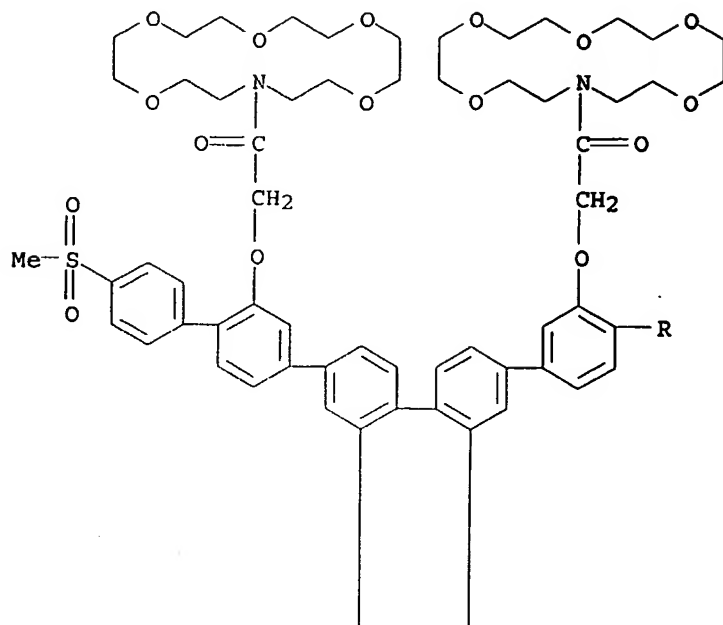


RN 335629-21-5 CAPLUS

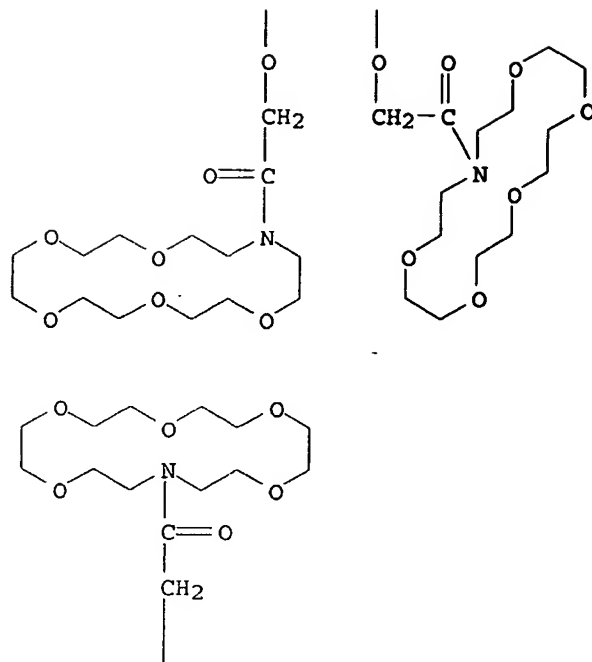
CN 1,4,7,10,13-Pentaoxa-16-azacyclooctadecane, 16,16',16'',16''',16'''',16''''
'-[[4-[(2-aminoethyl)thio]-4''''''-(methylsulfonyl)[1,1':4',1'':4'',1''':
:4''',1''''':4''''',1''''':4''''',1''''':4''''',1''''':4''''']-octiphenyl]-

2',2''',2''''',3'',3''',3''''''-hexayl]hexakis[oxy(1-oxo-2,1-ethanediyl)]hexakis- (9CI) (CA INDEX NAME)

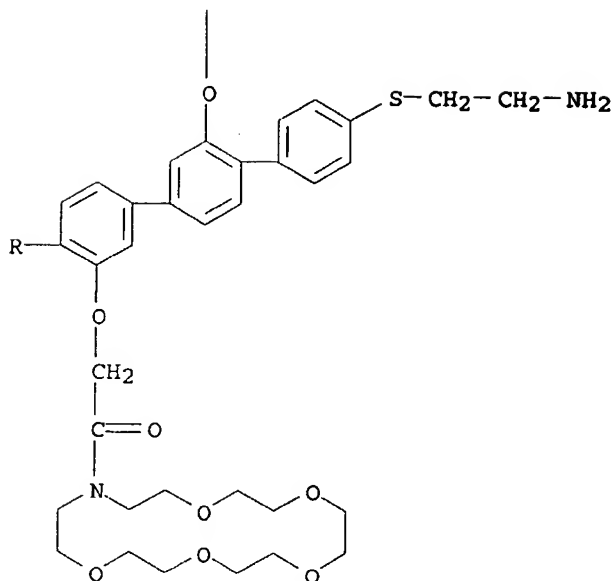
PAGE 1-A



PAGE 2-A

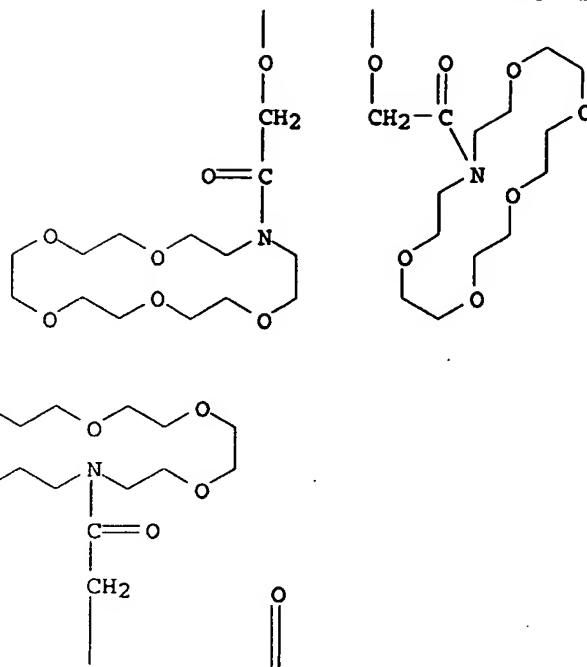


PAGE 3-A

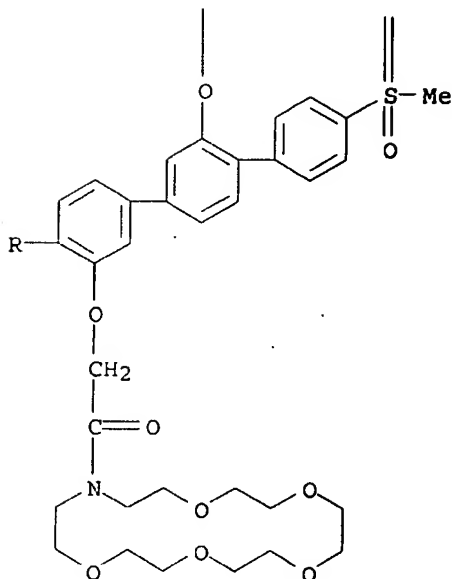


IT 335629-11-3P 335629-13-5P 335629-15-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(electrostatics of cell membrane recognition: structure and activity of

PAGE 2-A



PAGE 3-A

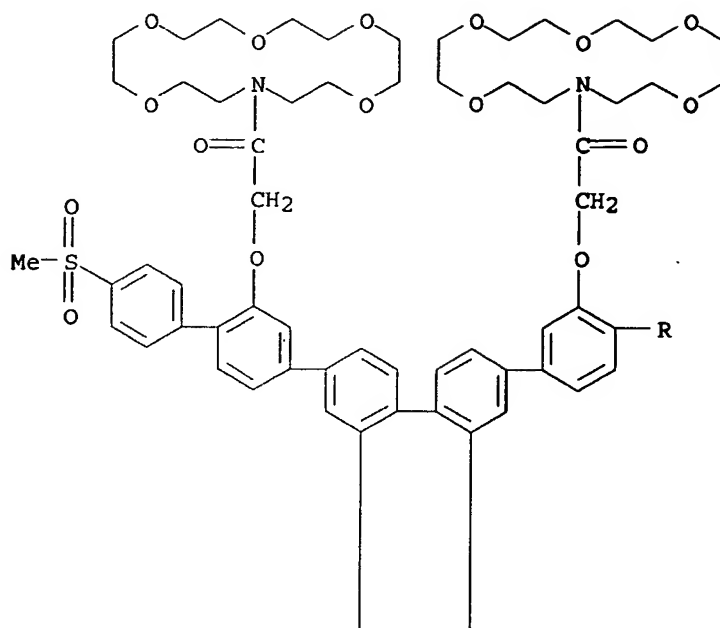


RN 335629-13-5 CAPLUS

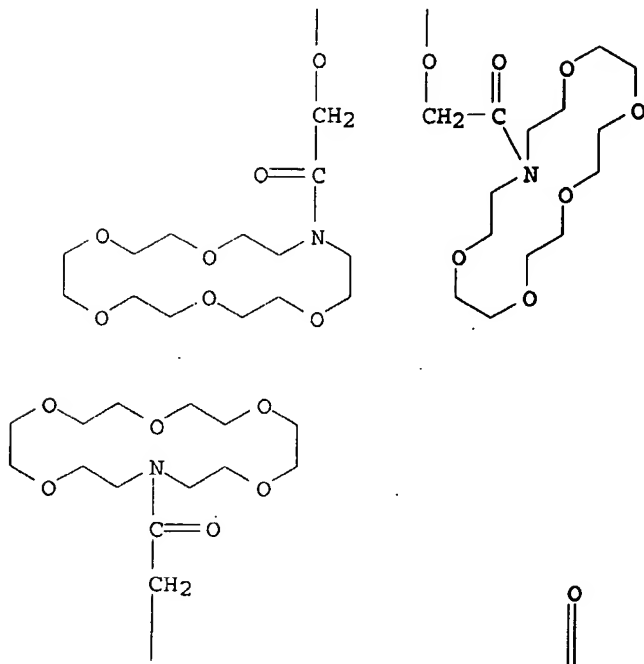
CN Carbamic acid, [2-[[4'-'-(methylsulfonyl)-
2',2'-'-,2'-'-,3'-'-,3'-'-,3'-'-'-hexakis[2-oxo-2-(1,4,7,10,13-pentaoxa-16-
azacyclooctadec-16-yl)ethoxy] [1,1':4',1'':4'',1''':4''',1''''':4''''',1''''':
:4''''',1''''':4''''',1''''':-octiphenyl]-4-yl]thio]ethyl]-,

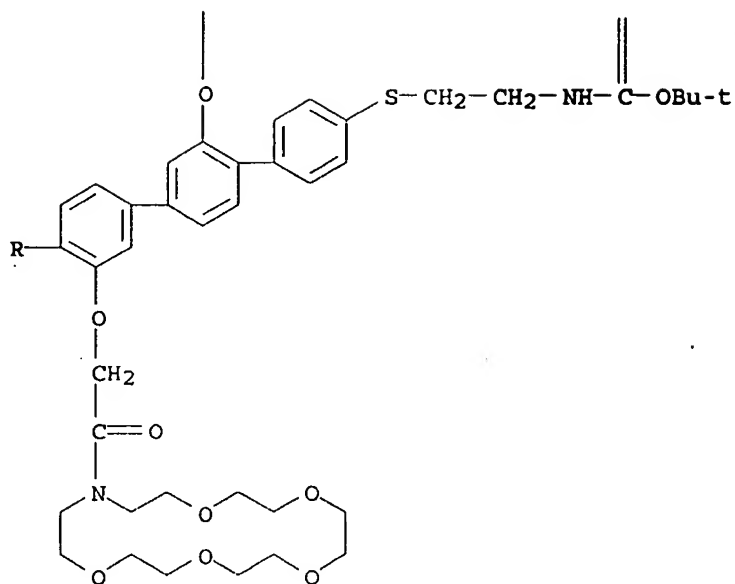
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



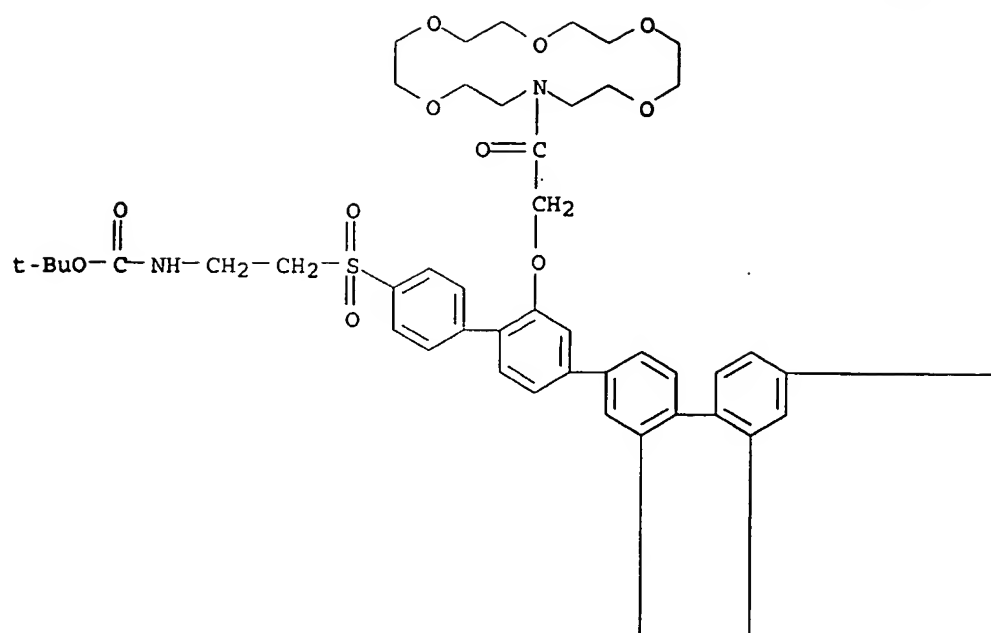
PAGE 2-A



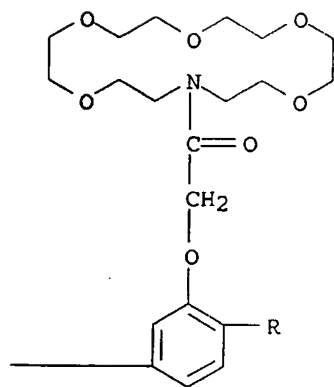


RN 335629-15-7 CAPLUS
CN Carbamic acid, [2-[[[4'-[4'-(methylthio)-2'',2''',2''''',3'',3''''',3'''''''-hexakis[2-oxo-2-(1,4,7,10,13-pentaoxa-16-azacyclooctadec-16-yl)ethoxy][1,1':4',1'':4'',1''':4''',1''':4''''',1''':4''''',1''':4''''',1''':4''''']-octiphenyl]-4-yl]sulfonyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

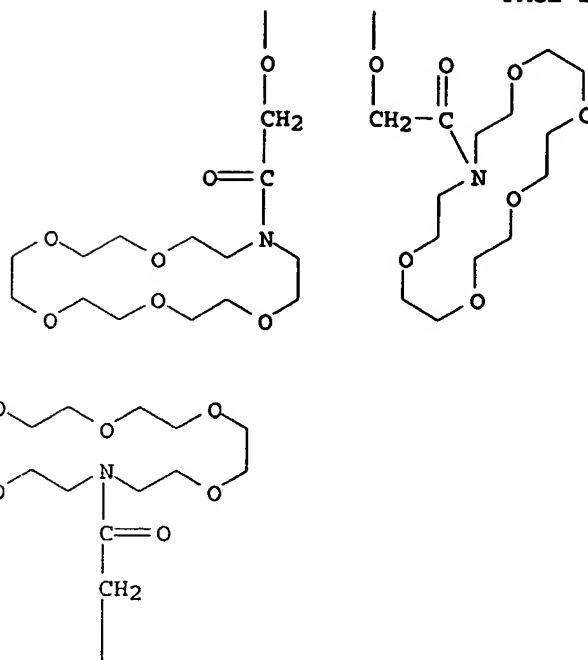
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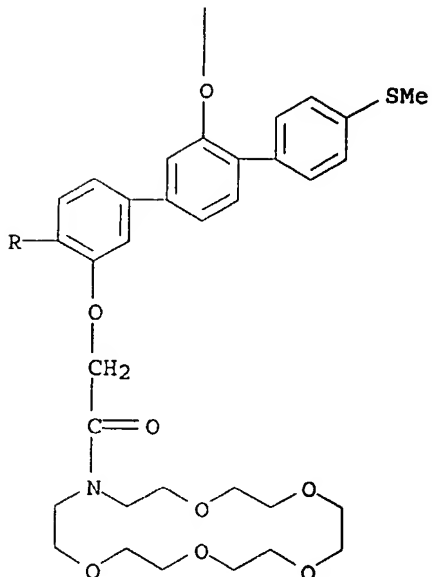
PAGE 1-B



PAGE 2-A



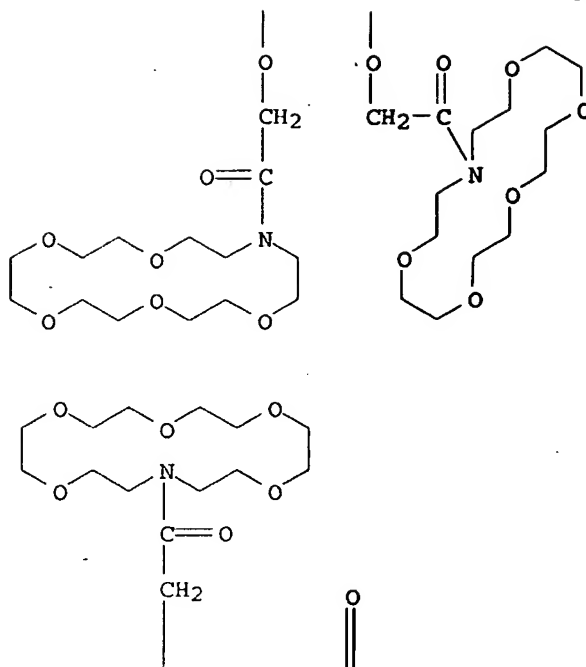
PAGE 3-A



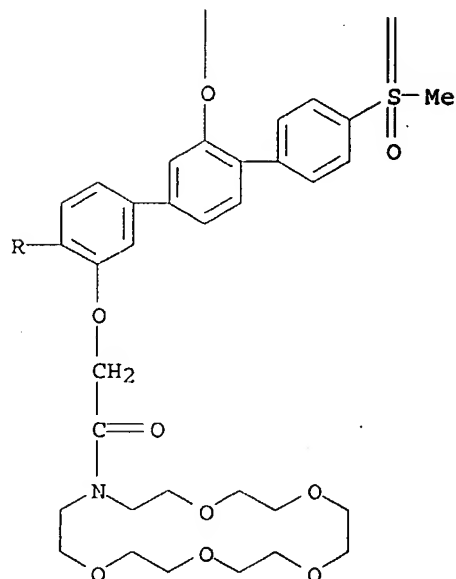
IT 335629-23-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(electrostatics of cell membrane recognition: structure and activity of
neutral and cationic rigid push-pull rods in isoelec., anionic, and
polarized lipid bilayer membranes)

PAGE 2-A



PAGE 3-A



AB Design, synthesis, and structural and functional studies of rigid-rod ionophores of different axial electrostatic asymmetry are reported. The employed design strategy emphasized presence of (a) a rigid scaffold to minimize the conformational complexity, (b) a unimol. ion-conducting

pathway to minimize the suprastructural complexity and monitor the function, (c) an extended fluorophore to monitor structure, (d) variable axial rod dipole, and (e) variable terminal charges to create axial asymmetry. Studies in isoelec., anionic, and polarized bilayer membranes confirmed a general increase in activity of uncharged rigid push-pull rods in polarized bilayers. The similarly increased activity of cationic rigid push-pull rods with an electrostatic asymmetry comparable to that of α -helical bee toxin melittin (pos. charge near neg. axial dipole terminus) is shown by fluorescence-depth quenching expts. to originate from the stabilization of transmembrane rod orientation by the membrane potential. The reduced activity of rigid push-pull rods having an electrostatic asymmetry comparable to that in α -helical natural antibiotics (a pos. charge near the pos. axial dipole terminus) is shown by structural studies to originate from rod "ejection" by membrane potentials comparable to that found in mammalian plasma membranes. This structural evidence for cell membrane recognition by asym. rods is unprecedented and of possible practical importance with regard to antibiotic resistance.

RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:790480 CAPLUS

DN 133:335232

TI Preparation of pyrazoles as antiinflammatory agents

IN Lohray, Vidya Bhushan; Sunil, Kumar Singh; Akella, Venkateswarlu; Lohray, Braj Bhushan; Pamulapati, Ganapathi Reddy; Ramanujam, Rajagopalan; Parimal, Misra

PA Reddy's Research Foundation, India

SO PCT Int. Appl., 134 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066562	A1	20001109	WO 2000-IB556	20000502
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			IN 1999-MA508	A 19990503

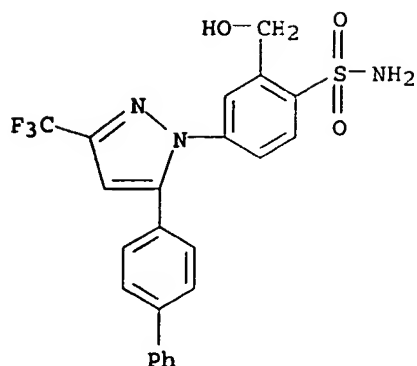
OS MARPAT 133:335232

IT 304648-26-8P

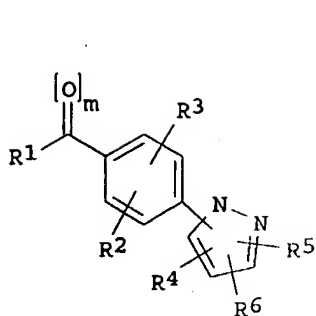
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazoles as antiinflammatory agents)

RN 304648-26-8 CAPLUS

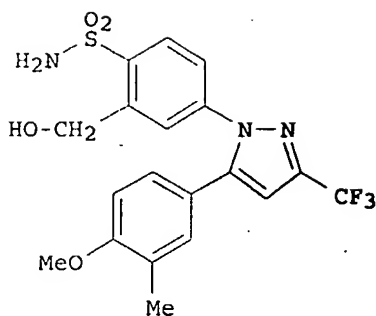
CN Benzenesulfonamide, 4-[5-[1,1'-biphenyl]-4-yl-3-(trifluoromethyl)-1H-pyrazol-1-yl]-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)



GI



I



II

AB The title compds. [I; R1 = NH₂, alkyl, alkylamino, etc.; R2 = CN, NO₂, N₃, etc.; R3 = H, halo, OH, etc.; R4-R6 = H, halo, OH, etc.; m = 0-2], useful for the treatment and/or prophylaxis of diseases of cyclooxygenase, more particularly COX-2, were prepared E.g., a multi-step synthesis of the pyrazole II which showed IC₅₀ of 0.56 ± 0.03 (100 μM) against COX-2 vs. IC₅₀ of 264 ± 0.5 (100 μM) against COX-1, was given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:756693 CAPLUS

DN 133:309896

TI Preparation of sulfonamide derivatives having oxadiazole rings as matrix metalloprotease inhibitors

IN Watanabe, Fumihiko; Tamura, Yoshinori; Fujii, Yasuhiko

PA Shionogi & Co., Ltd., Japan

SO PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DT Patent

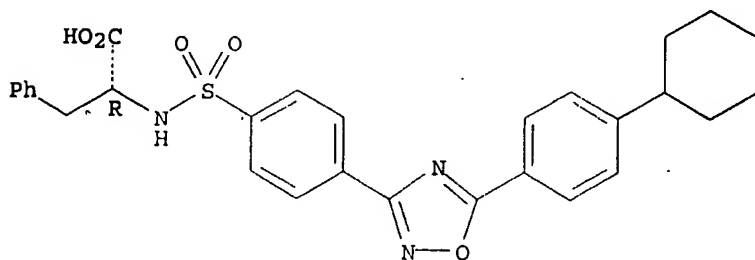
LA Japanese

FAN.CNT 1

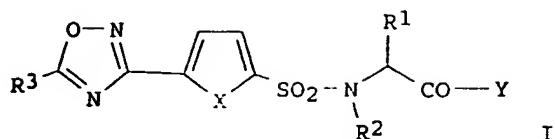
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2000063194 A1 20001026 WO 2000-JP2404 20000413
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 CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
 ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
 MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
 SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW,
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 EP 1172361 A1 20020116 JP 1999-110321 A 19990419
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO EP 2000-915504 20000413
 AU 765203 B2 20030911 JP 1999-110321 A 19990419
 WO 2000-JP2404 W 20000413
 AU 2000-36776 20000413
 JP 1999-110321 A 19990419
 WO 2000-JP2404 W 20000413
 US 6495578 B1 20021217 US 2001-959008 20011017
 JP 1999-110321 A 19990419
 WO 2000-JP2404 W 20000413
 NO 2001005078 A 20011218 NO 2001-5078 20011018
 JP 1999-110321 A 19990419
 WO 2000-JP2404 W 20000413
 OS MARPAT 133:309896
 IT 301835-77-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of sulfonamide derivs. having oxadiazole rings as matrix
 metalloprotease inhibitors)
 RN 301835-77-8 CAPLUS
 CN D-Phenylalanine, N-[[4-[5-(4-cyclohexylphenyl)-1,2,4-oxadiazol-3-
 yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

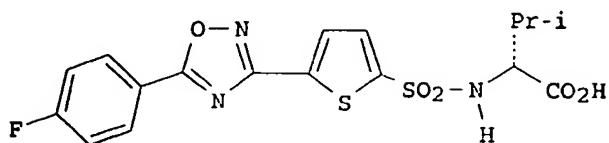
Absolute stereochemistry.



GI



I



II

AB The title compds. I [R1 and R2 are each independently hydrogen, optionally substituted lower alkyl, or the like; R3 is optionally substituted aryl, optionally substituted heteroaryl, or the like; X is CH:CH, O, or S; and Y is NHOH, hydroxyl, or lower alkyloxy] are prepared. The title compound II in vitro showed IC50 of 0.067 μ M against MMP-2. Formulations are given.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:742084 CAPLUS

DN 133:309836

TI Preparation of 4,5-diaryl-3(2H)-furanones as cyclooxygenase-2 inhibitors

IN Shin, Song Seok; Noh, Min-Soo; Byun, Young Joo; Choi, Jin Kyu; Kim, Jin Kwan; Lim, Kyung Min; Kim, Ji Young; Choi, Young Hoon; Ha, Jun-Yong; Lee, Ki-Wha; Moh, Joo Hyun; Jeong, Yeon Su; Chung, Shin; Joo, Yung Hyup; Lee, Chang Hoon; Kang, Seon Hwa; Park, Young-Ho; Yi, Jung Bum

PA Pacific Corporation, S. Korea

SO PCT Int. Appl., 240 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061571	A1	20001019	WO 2000-KR339	20000412
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			KR 1999-13170	A 19990414
			KR 1999-29779	A 19990722
			KR 1999-39043	A 19990913
			KR 2000-16866	A 20000331
			KR 2000-17647	A 20000404
KR 2000066223	A	20001115	KR 1999-13170	19990414
KR 2001010728	A	20010215	KR 1999-29779	19990722
EP 1109799	A1	20010627	EP 2000-921133	20000412
EP 1109799	B1	20031217		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO

			KR 1999-13170	A	19990414
			KR 1999-29779	A	19990722
			KR 1999-39043	A	19990913
			KR 2000-16866	A	20000331
			KR 2000-17647	A	20000404
			WO 2000-KR339	W	20000412
NZ 514101	A	20010928	NZ 2000-514101		20000412
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			KR 1999-29779	A	19990722
			KR 1999-39043	A	19990913
			KR 2000-16866	A	20000331
			KR 2000-17647	A	20000404
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			KR 1999-29779	A	19990722
			KR 1999-39043	A	19990913
			KR 2000-16866	A	20000331
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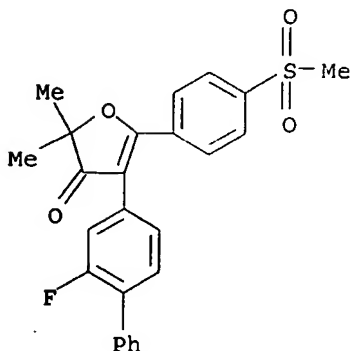
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IT 301690-35-7P 301691-71-4P 301693-02-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4,5-diaryl-3(2H)-furanones as cyclooxygenase-2 inhibitors)

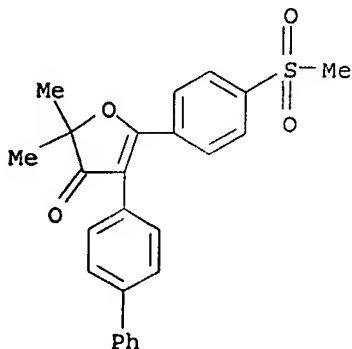
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CN 3(2H)-Furanone, 4-(2-fluoro[1,1'-biphenyl]-4-yl)-2,2-dimethyl-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



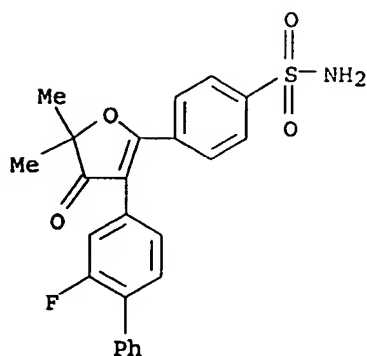
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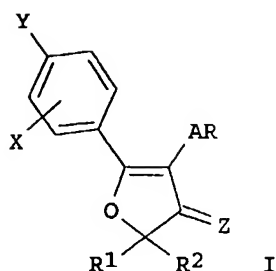


RN 301693-02-7 CAPLUS

CN Benzenesulfonamide, 4-[3-(2-fluoro[1,1'-biphenyl]-4-yl)-4,5-dihydro-5,5-dimethyl-4-oxo-2-furanyl]- (9CI) (CA INDEX NAME)



GI



AB The title compds. [I; X = halo, H, alkyl; Y = alkylsulfonyl, aminosulfonyl, alkylsulfinyl, etc.; Z = O, S; R1, R2 = alkyl; R1 and R2, taken together with the 2-position carbon atom of 3(2H)-furanone ring, form a 4-6 membered aliphatic or heterocyclic ring; AR = (un)substituted aryl of 5-10 atoms] which inhibit strongly and selectively COX-2 over COX-1 (data given), and are useful in treating inflammation, inflammation-associated disorders, and COX-2 mediated diseases, were prepared Thus, reacting 4-bromo-2,2-dimethyl-5-{4-(methylsulfonyl)phenyl}-3(2H)-furanone (preparation given) with 3-fluorobenzeneboronic acid in the presence of Pd(PPh₃)₄ and saturated aqueous NaHCO₃ in PhMe and EtOH afforded I [X = H;

Y = SO₂Me; Z = O; R1, R2 = Me; AR = 3-FC₆H₄] which showed IC₅₀ of 0.02 µg/mL against COX-2 vs. IC₅₀ of 5 µg/mL against COX-1.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s l3 and prostagladin
L4 0 L3 AND PROTAGLADIN

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
92.70	248.33

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
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Patel

<8/24/2004>